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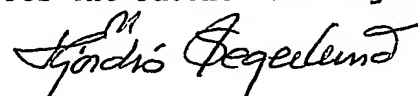
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NEW COMPOUNDS

FIELD OF THE INVENTION

5 This invention relates to novel compounds, to pharmaceutical compositions comprising the compounds, as well as to the use of the compounds in medicine and for the preparation of a medicament, which acts on the 15-lipoxygenase enzyme. It is of special interest to provide a medicament against inflammatory diseases and disorders having an inflammatory component.

10

BACKGROUND OF THE INVENTION

The major problems with present therapies for inflammatory conditions are lack of efficacy and / or real or perceived side-effects. Diseases or disorders which
15 are of an inflammatory nature, or which contain an inflammatory component are numerous, e.g. asthma, chronic obstructive pulmonary disease (COPD), pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound
20 healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies.

Asthma alone is a chronic inflammatory disease affecting of 6-8 % of the adult
25 population of the industrialized part of the world. In children, the prevalence is even higher, close to 10% in most countries. Asthma is the most common cause of hospitalization for children under the age of fifteen. Treatment of asthma is based on the severity of the condition; mild cases are untreated or only treated with inhaled β -agonists, while patients with more severe disease should be
30 treated with anti-inflammatory compounds on a regular basis. There is a

considerable under-treatment of asthma, which is partly due to perceived risks with existing maintenance therapy (mainly inhaled corticosteroids), such as growth retardation in children and loss of bone mineral density. The result is unnecessary morbidity and mortality. As an alternative to steroids, the

5 leukotriene receptor antagonists (LTRAs) have been developed. These drugs can be given orally, but they are considerably less efficacious than inhaled steroids and do usually not control the airway inflammation satisfactorily. The result of these circumstances is that at least 50% of all patients with asthma are inadequately treated, and the medical need for an anti-inflammatory asthma

10 drug without real or perceived side effects is immense.

The situation is similar regarding allergic disorders, a number of common conditions where drugs are present, but underused due to side effects, resulting in undertreatment. Rhinitis, conjunctivitis and dermatitis may have allergic

15 background, but may also be present without allergic component. The non-allergic conditions are in many cases more difficult to treat and a new effective treatment would benefit large patient groups. Also chronic obstructive pulmonary disease (COPD) is a common disease affecting 6-8% of the world population. The disease is potentially lethal, and the morbidity and mortality

20 from the condition is considerable. There is today no pharmacological treatment known that can change the course of COPD and a novel anti-inflammatory therapy would be beneficial for large groups of patients. Pulmonary fibrosis is a less common, but serious disorder with a very bad prognosis, no curative treatment exists. Inflammatory bowel disease is a group of disorders with high

25 morbidity and today with only symptomatic treatment. Rheumatoid arthritis and osteoarthritis are common and disabling inflammatory disorders of the joints without curative and only moderately effective symptomatic treatments available. Inflammation is a common cause of pain and an effective anti-inflammatory treatment will be beneficial also after trauma, surgery and under

30 other circumstances when inflammatory pain is present.

Several malignancies do have inflammatory components adding to the symptomatology of the patients. A new anti-inflammatory treatment may be beneficial also in these patients.

5

The mammalian lipoxygenases are a family of structurally related enzymes, which catalyze the oxygenation of arachidonic acid leading to the formation of various pro-inflammatory mediators. Three types of human lipoxygenases are known which catalyze the insertion of molecular oxygen into arachidonic acid at carbon positions 5, 12 and 15. The enzymes are hence named 5-, 12- and 15-lipoxygenase, respectively.

10

The primary product of the action of 5-lipoxygenase on arachidonic acid is further converted by a number of enzymes to a variety of physiologically and pathophysiologically important metabolites. The most important of these, the leukotrienes, are strong bronchoconstrictors. Large efforts have been devoted into producing drugs that would inhibit their action, and that would be useful in *e.g.* asthma therapy. These include 5-lipoxygenase inhibitors, inhibitors of FLAP (Five Lipoxygenase Activating Protein), and leukotriene receptor antagonists (LTRas).

20

Another class of enzymes that metabolize arachidonic acid are the cyclooxygenases. The metabolites that are eventually formed include prostaglandins, thromboxanes and prostacyclin, that possess physiologically or pathophysiologically activities. In particular, the prostaglandin PGE₂ is a strong pro-inflammatory mediator and also induces fever and pain. Consequently, a number of drugs have been developed to inhibit the formation of PGE₂.

25

Compounds belonging to the classes usually denoted "NSAID's" (Non-Steroidal Anti-Inflammatory Drugs) and "coxibs" (selective cyclooxygenase-2 inhibitors) act predominantly by inhibition of one or several cyclooxygenases.

30

We have good reasons to believe that also metabolites that are formed from arachidonic acid by the action of 15-lipoxygenase and other enzymes acting downstream of 15-lipoxygenase, have pronounced pathophysiological activities including pro-inflammatory effects. We believe that blocking the formation of these metabolites could be beneficial as a disease modifying treatment to several different groups of patients.

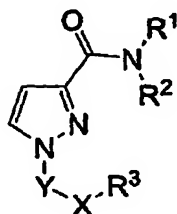
Thus, as inflammation is the underlying cause of a variety of diseases and disorders, and as inflammatory processes are involved in many diseases and disorders, blocking the effect of 15-lipoxygenase is equivalent to a pharmacological effect against inflammatory diseases and processes. Accordingly, 15-lipoxygenase inhibitors would be important in the treatment of asthma, chronic obstructive pulmonary disease (COPD), pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies.

20

In all of the above mentioned conditions, the 15-lipoxygenase inhibitors may be beneficial alone or in combination with other active drugs.

SUMMARY OF THE INVENTION

One object of the present invention is a compound of formula (I):



(I)

wherein:

- 10 R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the
- 15 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
- 20 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
- 25 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,

- $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
5 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$ and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
10 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
15 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$ and Z , provided that Z
20 is not directly attached to an aryl or heteroaryl ring;
 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
 $(R^5)(R^6)C=$;
 n is 1 or 2;
25 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
substituted by one or more halogens; or where R^1 and R^2 are optionally joined
to form a 5-7 membered ring, and which ring optionally contains 1-3
heteroatoms, or 1-3 double bonds, and which optionally is substituted by a
group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
30 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,

- $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
5 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z , provided
that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
10 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
alkyl, and heteroaryl residues are optionally and independently substituted by
one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
20 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
25 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
30 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

$(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z

5 is not directly attached to an aryl or heteroaryl ring;

X is selected from a bond, O , or NR^8 ;

Y is selected from $C=O$, $C=S$, and SO_2 ;

R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, or heteroaryl, optionally and independently substituted in one or
10 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
15 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
20 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
25 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
30 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,

- $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring;
 R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
 cycloalkyl, optionally and independently substituted by one or more groups
 selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl,
 aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,

- C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more

- groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³),
- 5 R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO,
- 10 R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-
- 15 alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²),
- 20 (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or
- 25 heteroaryl ring.
- R⁹, R¹⁰, R¹¹, R¹² and R¹³ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, and C₃₋₈-heterocycloalkyl, optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N,

HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; with the proviso that:

- 10 when R² is H, Y is C=O, X is a bond, and R³ is phenyl, R¹ is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl;
when R² is H, Y is C=O, X is a bond, and R³ is 4-fluorophenyl, R¹ is not 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, or 2,4-dimethylphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 2-chlorophenyl, R¹ is not
- 15 phenyl, 3-bromophenyl, or 4-bromophenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 3-chlorophenyl, R¹ is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 4-chlorophenyl, R¹ is not
- 20 3-bromophenyl, or 4-methoxyphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 3-iodophenyl, R¹ is not 2-methoxyphenyl, or 2,4-dimethylphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dichlorophenyl, R¹ is not 4-chlorophenyl, or 2,3-dichlorophenyl;
- 25 when R² is H, Y is C=O, X is a bond, and R³ is 3,5-dinitrophenyl, R¹ is not 2,3-dichlorophenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dimethyl-6-oxo-6H-pyran-3-yl, R¹ is not 3-carbomethoxyphenyl;

when R^2 is H, Y is C=O, X is a bond, and R^3 is methyl, R^1 is not 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is a bond, and R^3 is ethyl, R^1 is not phenyl,
5 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl, or 4-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is phenyl, R^1 is not 4-methoxyphenyl, 2,4-dimethylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 3-chlorophenyl, R^1 is not
10 4-methylphenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 4-chlorophenyl, R^1 is not 3-bromophenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 3,4-dichlorophenyl, R^1 is not 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

15 when R^2 is H, Y is C=O, X is NH, and R^3 is 2'-sulfamoylbiphenyl-4-yl, R^1 is not 5-bromo-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-propyl, R^1 is not phenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-butyl, R^1 is not 4-bromophenyl, or 2,4-dimethylphenyl;

20 when R^2 is H, Y is C=O, X is NH, and R^3 is cyclohexyl, R^1 is not 4-methoxyphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is phenyl, R^1 is not phenyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is O, and R^3 is methyl, R^1 is not phenyl,
25 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is ethyl, R^1 is not phenyl, 2-fluorophenyl, or 4-acetylphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 1-butyl, R^1 is not 2-fluorophenyl, 2-methoxyphenyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

30 when R^2 is H, Y is C=O, X is O, and R^3 is 2-butyl, R^1 is not 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 2-methyl-1-propyl, R^1 is not phenyl or 3-nitrophenyl;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

5

A preferred embodiment of the present invention relates to compounds according to the general formula (I), wherein R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

- 10 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, and $(R^5)(R^6)NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
- 15 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO ,
- 20 $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,

C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;

- 25 Z is a substituent connected by a double bond, and is selected from O= and $R^4N=$;

n is 1 or 2;

R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens;

- 30 X is selected from a bond, O, or NR^8 ;

Y is selected from C=O, C=S, and SO₂;

- R³ is C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO; R⁴, R⁵, R⁶, R⁷, and R⁸ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N,

- $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$ and Z , provided that Z is
 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 5 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7
 10 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
 double bonds, and which optionally is substituted by a group selected from
 halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$,
 $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 15 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
 cycloalkyl, and heteroaryl residues are optionally and independently substituted
 by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 20 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$ and Z , provided that Z is
 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
 25 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one
 or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH,

(C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens;

with the proviso that:

- 10 when R² is H, Y is C=O, X is a bond, and R³ is phenyl, R¹ is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl;
when R² is H, Y is C=O, X is a bond, and R³ is 4-fluorophenyl, R¹ is not 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, or 2,4-dimethylphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 2-chlorophenyl, R¹ is not
15 phenyl, 3-bromophenyl, or 4-bromophenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 3-chlorophenyl, R¹ is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 4-chlorophenyl, R¹ is not
20 3-bromophenyl, or 4-methoxyphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 3-iodophenyl, R¹ is not 2-methoxyphenyl, or 2,4-dimethylphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dichlorophenyl, R¹ is not 4-chlorophenyl, or 2,3-dichlorophenyl;
25 when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dimethyl-6-oxo-6H-pyran-3-yl, R¹ is not 3-carbomethoxyphenyl;
when R² is H, Y is C=O, X is a bond, and R³ is methyl, R¹ is not 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is a bond, and R^3 is ethyl, R^1 is not phenyl, 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl, or 4-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is phenyl, R^1 is not 4-methoxyphenyl,

5 2,4-dimethylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 3-chlorophenyl, R^1 is not 4-methylphenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 4-chlorophenyl, R^1 is not 3-bromophenyl;

10 when R^2 is H, Y is C=O, X is NH, and R^3 is 3,4-dichlorophenyl, R^1 is not 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-propyl, R^1 is not phenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-butyl, R^1 is not 4-bromophenyl, or 2,4-dimethylphenyl;

15 when R^2 is H, Y is C=O, X is NH, and R^3 is cyclohexyl, R^1 is not 4-methoxyphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is phenyl, R^1 is not phenyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is O, and R^3 is methyl, R^1 is not phenyl,

20 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is ethyl, R^1 is not phenyl, 2-fluorophenyl, or 4-acetylphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 1-butyl, R^1 is not 2-fluorophenyl, 2-methoxyphenyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

25 when R^2 is H, Y is C=O, X is O, and R^3 is 2-butyl, R^1 is not 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 2-methyl-1-propyl, R^1 is not phenyl;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

A more preferred embodiment is when R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman,

- 5 benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, 10 difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propyl-amino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, 15 *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 20 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;

R² is hydrogen, methyl or ethyl;

- 25 R³ is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 30 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-

- fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl,
4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl,
5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl,
4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl,
5 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl,
3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl,
cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole,
imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline,
quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydro-
10 isoquinoline, quinolizine, benzofuran, isobenzofuran, chroman,
benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole,
quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and
independently substituted in one or more positions by a group selected from
fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl,
15 isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl,
difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl,
cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl,
3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy,
carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propyl-
20 amino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino,
N-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino,
N-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl,
2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl,
4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy,
25 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl,
3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl,
carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl,
methylsulfonyl, and O=, provided that O= is not directly connected to a
heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a
30 bond; provided that when R² is H, Y is C=O, X is a bond, and R³ is phenyl, R¹

is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl, and when R^2 is H, Y is C=O, X is a bond, and R^3 is 3-chlorophenyl, R^1 is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl; or R^1 is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R^2 is hydrogen, methyl, or ethyl; R^3 is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-

- tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl,
3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl,
2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-
fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butyl-
5 phenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl,
2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl,
3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl,
4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl,
4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl,
10 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl,
3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl,
2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl,
2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxy-
phenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine,
15 pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole,
pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline,
isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran,
isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine,
indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and
20 benzodioxane, optionally and independently substituted in one or more
positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl,
isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl,
isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl,
cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl,
25 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl,
amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butyl-
amino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino,
N,N-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino,
1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl,
30 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro,

- hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃, provided that when R² is H, Y is C=O, X is NH, and R³ is phenyl, R¹ is not 4-methoxyphenyl, 2,4-dimethylphenyl, or 2-thiazolyl, and when R² is H, Y is C=O, X is NH, and R³ is 3-chlorophenyl, R¹ is not 4-methylphenyl;
- 5 or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline,
- 10 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl,
- 15 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl,
- 20 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl,
- 25

methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;

R² is hydrogen, methyl or ethyl;

R³ is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl,

- 5 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from
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25
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- halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl,

- tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 15 Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

- A particularly preferred embodiment of the present invention relates to
- 20 compounds according to the general formula (I), wherein R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl, 2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;
- 25

with the proviso that when R^2 is H, Y is C=O, X is a bond, and R^3 is 3-chlorophenyl, R^1 is not 2-chlorophenyl;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

5

Especially preferred are the following compounds:

(E1): 1-Benzoyl-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,

(E2): 1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-

10 3-ylamide,

(E3): 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,

(E4): 1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,

(E5): 1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,

15 (E6): 2-{{1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-carboxylic acid methyl ester,

(E7): 2-{{1-(3-Trifluoromethylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}-thiophene-3-carboxylic acid methyl ester,

20 (E8): 2-{{1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}-thiophene-3-carboxylic acid methyl ester,

(E9): 2-{{1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-carboxylic acid methyl ester,

(E10): 1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide,

25 (E11): 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide,

(E12): 1-(3-Methyl-2-benzofuroyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide,

30 (E13): 1-(2-Fluoro-5-iodobenzoyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide,

- (E14): 1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
- (E15): 1-(3-Methyl-2-benzofuroyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
- 5 (E16): 1-(2-Furoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
- (E17): 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
- (E18): 4- {[1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carbonyl]amino} benzoic acid methyl ester,
- 10 (E19): 4- {[1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carbonyl]amino}-benzoic acid methyl ester,
- (E20): 4- {[1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carbonyl]amino} benzoic acid methyl ester,
- 15 (E21): 4- {[1-(2-Furoyl)-1*H*-pyrazole-3-carbonyl]amino} benzoic acid methyl ester,
- (E22): 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide,
- (E23): 1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylamino-phenyl)amide,
- 20 (E24): 1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide,
- (E25): 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)-amide,
- 25 (E26): 1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide,
- (E27): 1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide,
- (E28): 1-(2-Furoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)-amide,
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- (E29): 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide,
- (E30): 1-Oleoyl-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,
- (E31): 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid
- 5 (1*R*,2*S*,5*R*)-(-)-menthol ester,
- (E32): 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid 2-chlorobenzyl ester,
- (E33): 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid 4-chlorophenyl ester,
- 10 (E34): 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide] 1-dimethylamide,
- (E35): 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide] 3-[(2-chlorophenyl)amide],
- (E36): 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
- 15 1-[(3-fluorophenyl)amide],
- (E37): 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide] 1-[(3-trifluoromethylphenyl)amide],
- (E38): 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide] 1-[(4-nitrophenyl)amide],
- 20 (E39): 1-(Octane-1-sulfonyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,
- (E40): 1-(3-Chlorobenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,
- (E41): 1-(4-*tert*-Butylbenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid
- 25 (2-chlorophenyl)amide,
- (E42): 5-[3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-sulfonyl]furan-2-carboxylic acid methyl ester,
- (E43): 1-(3-Chlorobenzoyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide,

(E44): 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide]
3-[(2-chlorophenyl)methylamide],

(E45): 3-[(2-Chlorophenyl)methylcarbamoyl]-1*H*-pyrazole-1-carboxylic acid
2-chlorobenzyl ester,

5 (E46): 1-(3-Chlorobenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid
(2-chlorophenyl)methylamide,

(E47): 1-Phenylthiocarbamoyl-1*H*-pyrazole-3-carboxylic acid
(2-chlorophenyl)amide,

10 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

	R ¹	R ²	R ³	X	Y
E1	3-pyridyl	H	phenyl	bond	C=O
E2	3-pyridyl	H	2,5-dimethyl-3-furyl	bond	C=O
E3	3-pyridyl	H	1-methyl-2-pyrrolyl	bond	C=O
E4	3-pyridyl	H	3-methyl-2-thienyl	bond	C=O
E5	3-pyridyl	H	4-pentylphenyl	bond	C=O
E6	3-carbomethoxy-2-thienyl	H	2-ethoxyphenyl	bond	C=O
E7	3-carbomethoxy-2-thienyl	H	3-trifluoromethyl-phenyl	bond	C=O
E8	3-carbomethoxy-2-thienyl	H	5-fluoro-2-methyl-phenyl	bond	C=O
E9	3-carbomethoxy-2-thienyl	H	3-methyl-2-thienyl	bond	C=O
E10	1,3,5-trimethyl-1 <i>H</i> -pyrazol-4-yl	H	2,5-dimethyl-3-furyl	bond	C=O
E11	1,3,5-trimethyl-1 <i>H</i> -pyrazol-4-yl	H	1-methyl-2-pyrrolyl	bond	C=O
E12	1,3,5-trimethyl-1 <i>H</i> -pyrazol-4-yl	H	3-methyl-2-benzofuryl	bond	C=O

E13	1,3,5-trimethyl-1 <i>H</i> -pyrazol-4-yl	H	2-fluoro-5-iodophenyl	bond	C=O
E14	3-methyl-5-isoxazolyl	H	2-ethoxyphenyl	bond	C=O
E15	3-methyl-5-isoxazolyl	H	3-methyl-2-benzofuryl	bond	C=O
E16	3-methyl-5-isoxazolyl	H	2-furyl	bond	C=O
E17	3-methyl-5-isoxazolyl	H	1-naphtyl	bond	C=O
E18	4-carbomethoxy-phenyl	H	2,5-dimethyl-3-furyl	bond	C=O
E19	4-carbomethoxy-phenyl	H	5-fluoro-2-methyl-phenyl	bond	C=O
E20	4-carbomethoxy-phenyl	H	4-pentylphenyl	bond	C=O
E21	4-carbomethoxy-phenyl	H	2-furyl	bond	C=O
E22	4-dimethylaminophenyl	H	1-methyl-2-pyrrolyl	bond	C=O
E23	4-dimethylaminophenyl	H	4-pentylphenyl	bond	C=O
E24	4-dimethylaminophenyl	H	3-methyl-2-thienyl	bond	C=O
E25	4-dimethylaminophenyl	H	1-naphtyl	bond	C=O
E26	5-chloro-2-cyanophenyl	H	2-ethoxyphenyl	bond	C=O
E27	5-chloro-2-cyanophenyl	H	5-fluoro-2-methyl-phenyl	bond	C=O
E28	5-chloro-2-cyanophenyl	H	2-furyl	bond	C=O
E29	5-chloro-2-cyanophenyl	H	1-naphtyl	bond	C=O
E30	2-chlorophenyl	H	1-heptadec-8-enyl	bond	C=O
E31	2-chlorophenyl	H	(1 <i>R</i> ,2 <i>S</i> ,5 <i>R</i>)-(-)-menthyl	O	C=O
E32	2-chlorophenyl	H	2-chlorobenzyl	O	C=O
E33	2-chlorophenyl	H	4-chlorophenyl	O	C=O
E34	2-chlorophenyl	H	Me	NMe	C=O
E35	2-chlorophenyl	H	3-chlorophenyl	NH	C=O

E36	2-chlorophenyl	H	3-fluorophenyl	NH	C=O
E37	2-chlorophenyl	H	3-trifluoromethylphenyl	NH	C=O
E38	2-chlorophenyl	H	4-nitrophenyl	NH	C=O
E39	2-chlorophenyl	H	1-octyl	bond	SO ₂
E40	2-chlorophenyl	H	3-chlorophenyl	bond	SO ₂
E41	2-chlorophenyl	H	4- <i>tert</i> -butylphenyl	bond	SO ₂
E42	2-chlorophenyl	H	2-carbomethoxy-5-furyl	bond	SO ₂
E43	2-chlorophenyl	Me	3-chlorophenyl	bond	C=O
E44	2-chlorophenyl	Me	3-chlorophenyl	NH	C=O
E45	2-chlorophenyl	Me	2-chlorobenzyl	O	C=O
E46	2-chlorophenyl	Me	3-chlorophenyl	bond	SO ₂
E47	2-chlorophenyl	H	phenyl	NH	C=S

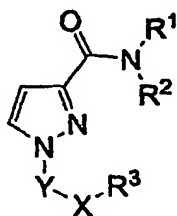
as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

5 Another object of the present invention is a compound as defined above for medical use.

10 Another object of the present invention is a pharmaceutical composition comprising a compound as defined above together with a pharmaceutical diluent or carrier.

Another object of the present invention is a process for preparation of the pharmaceutical composition as defined above by combining a compound as defined above together with a pharmaceutical diluent or carrier.

15 Another object of the present invention is a method for preventing, inhibiting or treating a disease associated with inflammation by administering to a subject in need of treatment a therapeutically effective amount of a compound of formula (II):



(II)

wherein:

- 5 R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
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- $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 5 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 15 is not directly attached to an aryl or heteroaryl ring;
 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$, $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and $(R^5)(R^6)C=$;
 n is 1 or 2;
- 20 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens; or where R^1 and R^2 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
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- $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z, provided
 that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
 5 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
 alkyl, and heteroaryl residues are optionally and independently substituted by
 one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 10 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 15 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z, provided that Z
 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 20 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 25 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z, provided that Z
 30 is not directly attached to an aryl or heteroaryl ring;

X is selected from a bond, O, or NR^8 ;

Y is selected from $\text{C}=\text{O}$, $\text{C}=\text{S}$, and SO_2 ;

- R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or
- 5 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $\text{R}^4\text{C}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})$, $\text{R}^4\text{OC}(\text{Z})$, $\text{R}^4\text{SC}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{N}$, $\text{R}^4\text{C}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)$,
- 10 $\text{R}^4\text{SC}(\text{Z})\text{N}(\text{R}^7)$, azido, NO_2 , $\text{R}^4\text{S}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$, $\text{R}^4\text{OS}(\text{O})_n\text{N}(\text{R}^7)$, R^4O , $\text{R}^4\text{C}(\text{Z})\text{O}$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{O}$, $\text{R}^4\text{OC}(\text{Z})\text{O}$, O_2NO , $\text{R}^4\text{S}(\text{O})_n\text{O}$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{O}$, $\text{R}^4\text{OS}(\text{O})_n\text{O}$, R^4S , $\text{R}^4\text{S}(\text{O})_n$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n$, $\text{R}^4\text{OS}(\text{O})_n$, and Z, provided that Z
- 15 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $\text{R}^4\text{C}(\text{Z})$,
- 20 $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})$, $\text{R}^4\text{OC}(\text{Z})$, $\text{R}^4\text{SC}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{N}$, $\text{R}^4\text{C}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)\text{C}(\text{Z})\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{SC}(\text{Z})\text{N}(\text{R}^7)$, azido, NO_2 , $\text{R}^4\text{S}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$,
- 25 $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)\text{S}(\text{O})_n\text{N}(\text{R}^8)$, $\text{R}^4\text{OS}(\text{O})_n\text{N}(\text{R}^7)$, R^4O , $\text{R}^4\text{C}(\text{Z})\text{O}$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{O}$, $\text{R}^4\text{OC}(\text{Z})\text{O}$, O_2NO , $\text{R}^4\text{S}(\text{O})_n\text{O}$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{O}$, $\text{R}^4\text{OS}(\text{O})_n\text{O}$, R^4S , $\text{R}^4\text{S}(\text{O})_n$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n$, $\text{R}^4\text{OS}(\text{O})_n$, and Z, provided that Z
- is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
- 30 heteroaryl residues are optionally and independently substituted by one or more

- groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸),
- 5 R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO,
- 10 R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, R⁴OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; R⁴, R⁵, R⁶, R⁷, and R⁸ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, and C₃₋₈-heterocycloalkyl, optionally and independently substituted by one or more groups
- 15 selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido,
- 20 NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z
- 25 is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z),
- 30 (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²),

- $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
5 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$,
 $R^9OS(O)_m$, and Z , provided that Z is not directly attached to an aryl or
heteroaryl ring;
- 10 or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
15 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
20 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$, $R^9OS(O)_m$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
25 heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
30 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,

- $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
5 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
 $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl,
10 heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
15 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
 $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
20 heteroaryl ring;
 R^9 , R^{10} , R^{11} , R^{12} and R^{13} are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N,
25 HO , C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
optionally and independently substituted by one or more halogens;
or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
30 halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO ,

C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens.

5 Another object of the present invention is a method for preventing, inhibiting or treating a disease, which can be modulated by inhibition of 15-lipoxygenase, by administering to a subject in need of treatment a therapeutically effective amount of a compound of formula (II).

10 The diseases referred to comprise, but are not limited to, asthma, chronic obstructive pulmonary disease (COPD), pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple
15 sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies.

Another embodiment of the invention is a method for inhibiting 15-lipoxygenase in a mammal in need thereof, comprising administering to the mammal a therapeutically effective amount of any of the compounds or any of the
20 pharmaceutical compositions described herein.

The compounds of the invention are 15-lipoxygenase inhibitors and as such may be useful in the treatment of asthma, chronic obstructive pulmonary disease (COPD), pulmonary fibrosis, allergic disorders, rhinitis, inflammatory
25 bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies (alone or in combination with: agents
30 that inhibit cyclooxygenase, such as aceclofenac, acetylsalicylic acid,

diclofenac, celecoxib, etodolac, etoricoxib, ibuprofen, indomethacin, ketoprofen, lornoxicam, meloxicam, nabumetone, naproxen, piroxicam, rofecoxib, sulindac, tenoxicam, or valdecoxib; paracetamol; phenacetin, phenazone; compounds that inhibit 5-lipoxygenase activity, such as licofelone or zileuton; agents that are leukotriene receptor antagonists, such as ibudilast, 5 montelukast, pranlukast, or zafirlukast; glucocorticoids, such as alclometasone, beclomethasone, betamethasone, budesonide, clobetasol, clobetasone, cortisone, desonide, desoximetasone, dexamethasone, flumethasone, fluocinolone acetonide, fluprednidene, fluticasone, hydrocortisone, 10 methylprednisolone, mometasone, prednisolone, prednisone, or triamcinolone; chromones, such as sodium cromoglycate; xanthines, such as aminophylline, aroxylline, or theophylline; phosphodiesterase IV inhibitors, such as cilomilast or roflumilast; anticholinergics such as ipratropium bromide or tiotropium bromide, β -agonists, such as bambuterol, fenoterol, formeterol, salbutamol; 15 salmeterol, or terbutaline; anti-histamines such as acrivastine, alimemazine, antazoline, azelastine, cetirizine, chlorcyclizine, clemastine, cyclizine, cyproheptadine, desloratidine, dexbrompheniramine, dexchlorpheniramine, diphenhydramine, ebastine, fexofenadine, loratidine, meclizine, mizolastine, promethazine, terfenadine, or thiethylperazine; agents that inhibit monocyte 20 migration; nitric oxide releasing drugs; immunomodulators such as etanercept or infliximab; or cytostatica, chemotherapy or hormone therapy).

Another object of the present invention is a method for eliciting a 15-lipoxygenase modulating effect in a subject in need of treatment, which 25 comprises administering to the subject of a therapeutically effective amount of a compound of formula (II).

The compounds of the present invention in labelled form, *e. g.* isotopically labelled, may be used as diagnostic agents. 30

Another object of the present invention is the use of a compound of formula (II) in the manufacture of a medicament for the therapeutic treatment or prevention of a disease or disorder, which is associated with 15-lipoxygenase, in a subject in need thereof.

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Examples of such diseases and disorders are asthma, chronic obstructive pulmonary disease (COPD), pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies.

It is preferred that in compounds of formula (II), wherein R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, and $(R^5)(R^6)NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO , $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently

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substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO;

Z is a substituent connected by a double bond, and is selected from O= and R⁴N=;

5 n is 1 or 2;

R² is selected from hydrogen and C₁₋₆-alkyl, optionally and independently substituted by one or more halogens;

X is selected from a bond, O, or NR⁸;

Y is selected from C=O, C=S, and SO₂;

- 10 R³ is C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷),
- 15 (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally
- 20 and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not
- 25 directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO;
- R⁴, R⁵, R⁶, R⁷, and R⁸ are each independently selected from hydrogen,
- 30 C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-

- cycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the
- 5 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO , $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
- 15 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ; or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
- 20 C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO , $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z, provided that Z is
- 25 30

5 R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and independently substituted by one or more halogens;
10 or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and
15 independently substituted by one or more halogens;

It is more preferred that in compounds of formula (II), R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl,

- cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl,
- 5 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethyl-
- 10 carbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R^2 is hydrogen, methyl or ethyl; R^3 is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-
- 15 enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl,
- 20 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl,
- 25 cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole,
- 30 quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and

- independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond;
- or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy,

- amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl; R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole,

indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃; or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl,

- cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl,
1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl,
4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino,
isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-
5 *N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-iso-
propylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl,
3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-
piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetra-
hydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetra-
10 hydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl,
carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl,
methylsulfonyl, and O=, provided that O= is not directly connected to a
heteroatom or to a carbon with a double bond;
R² is hydrogen, methyl or ethyl;
15 R³ is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl,
1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-
8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently
substituted in one or more positions by a group selected from fluorine, chlorine,
methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl,
20 *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoro-
methyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl,
1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl,
4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino,
isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-
25 *N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-iso-
propylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl,
3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-
piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetra-
hydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetra-
30 hydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl,

- carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

It is particularly preferred that in compounds of formula (II), R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, 5 oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl, 2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or 10 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

Especially preferred are the following compounds: E1-E47;

15

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

Other features and advantages of the invention will be apparent from the detailed description and claims.

20

DETAILED DESCRIPTION OF THE INVENTION

The following definitions apply to the terms as used throughout this specification, unless otherwise limited in specific instances.

25

The term 15-lipoxygenase inhibitor as used herein is intended to cover any moiety that prevents the action of the 15-lipoxygenase enzyme, or a complex of which the 15-lipoxygenase enzyme forms a part.

The term "subject" as used herein refers to a patient, which may e.g. be a mammal including human beings.

The term "effective amount" refers to an amount of a compound, which confers a therapeutic effect on the treated subject. The therapeutic effect may be objective (*i.e.* measurable by some test or marker) or subjective (*i.e.* the subject gives an indication of or feels an effect).

10 The term "halogen", as used herein alone or as part of another group, refers to chlorine, bromine, fluorine, and iodine.

The term "heteroatom" as used herein, refers to nitrogen, oxygen, sulphur, and in heterocyclic rings, also selenium.

15 The term "C₁₋₆-alkyl", as used herein alone or as part of another group, refers to an alkyl group which may be straight or branched. Exemplary C₁₋₆-alkyl groups include, but are not restricted to, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, and isohexyl.

20 The term "C₃₋₈-cycloalkyl", as used herein alone or as part of another group, refers to a mono-, or bicyclic alkyl group, which may contain one or more unsaturations (double, and/or triple bonds). Exemplary C₃₋₈-cycloalkyl groups include, but are not restricted to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclopentenyl, cyclohexenyl, 25 cycloheptenyl, cyclooctenyl, cyclooctynyl, bicycloheptyl, bicyclooctyl, and bicyclooctenyl. It is also understood that a single carbon of the C₃₋₈-cycloalkyl may be common to another C₃₋₈-cycloalkyl or C₃₋₈-heterocycloalkyl, forming a so called spiro-compound.

The term "C₂₋₆-alkenyl", as used herein alone or as part of another group, refers to an alkenyl group which may be straight or branched. Exemplary C₂₋₆-alkenyl groups include, but are not restricted to, vinyl, 1-propenyl, 2-propenyl, propadienyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,3-butadienyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, and 5-hexenyl.

The term "C₂₋₆-alkynyl", as used herein alone or as part of another group, refers to an alkynyl group which may be straight or branched. Exemplary C₂₋₆-alkynyl groups include, but are not restricted to, ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, 1-pentyne, 2-pentyne, 4-pentyne, 1-hexynyl, 3-hexynyl, and 5-hexynyl.

The term "C₃₋₈-heterocycloalkyl", as used herein alone or as part of another group, refers to a mono-, or bicyclic alkyl group which may contain one or more heteroatoms, and which may contain one or more unsaturations (double, and/or triple bonds). Exemplary C₃₋₈-heterocycloalkyl groups include, but are not restricted to, aziridine, azetidine, pyrrolidine, pyrroline, piperidine, tetrahydropyridine, dihydropyridine, pyrazolidine, imidazolidine, imidazoline, piperazine, morpholine, thiomorpholine, oxirane, oxetane, tetrahydrofuran, pyran, dihydropyran, tetrahydropyran, 1,3-dioxolane, 1,3-dioxane, 1,4-dioxane, thiirane, thietane, thiolane, 1,3-dithiolane, 1,4-dithiane, 1,3,5-trithiane, quinuclidine, and tropane. It is also understood that a single carbon or nitrogen of the C₃₋₈-heterocycloalkyl may be common to another C₃₋₈-cycloalkyl-, or C₃₋₈-heterocycloalkyl-group, forming a so called spiro-compound.

The term aryl is intended to include monocyclic or bicyclic ring systems having from 6 to 10 ring carbon atoms, in which at least one ring is aromatic. Examples of such ring systems are benzene, naphthalene, 1,2,3,4-tetrahydronaphthalene, indan, and indene.

The term heteroaryl refers to a mono-, bi- or tricyclic ring system having from 5 to 10 ring atoms, in which at least one ring is aromatic, and in which one or more of the ring atoms are other than carbon, such as nitrogen, sulphur, oxygen and selenium. Examples of such heteroaryl rings include, but are not restricted to, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,3-triazole, 1,2,4-triazole, 1,3,4-triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,3,4-thiadiazole, tetrazole, pyridine, indole, isoindole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, carbazole, acridine, benzofuran, isobenzofuran, chroman, isochroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, cinnoline, quinazoline, quinoxaline, phthalazine, 1,5-naphthyridine, 1,8-naphthyridine, phenazine, benzoxazole, 3,4-dihydro-2H-1,4-benzoxazine, benzothiazole, phenothiazine, 1,3-benzodioxole, benzodioxane, 2,1,3-benzoxadiazole, 2,1,3-benzothiazole, 2,1,3-benzoselenadiazole, purine, and pteridine. The ring system may be linked to the rest of the molecule via a carbon or nitrogen atom thereof.

The compounds of formulas (I) and (II) in the invention may contain at least one chiral center and may therefore exist as optical isomers. The invention therefore comprises optically inactive racemic (*rac*) mixtures (a one to one mixture of enantiomers), optically enriched scalemic mixtures as well as optically pure individual enantiomers. The compounds in the invention also may contain more than one chiral center and therefore may exist as diastereomers. The invention therefore comprises individual diastereomers as well as any mixture of diastereomers.

The compound of formulas (I) and (II) in the invention may contain geometrical isomers and may therefore exist as either the *E* (entgegen) or *Z* (zusammen)

isomers. The invention therefore comprises individual E or Z isomers as well as any mixture of E and Z isomers.

5 The compound of formulas (I) and (II) in the invention may exist in tautomeric forms, the invention therefore comprises the individual tautomeric forms as well as any mixture thereof.

Also included within the scope of the invention are polymorphs, hydrates, and solvates of the compounds of the instant invention.

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The compounds of formulas (I) and (II) can be present as salts, in particular pharmaceutically acceptable salts. The term "Pharmaceutically Acceptable Salts" refers to salts prepared from pharmaceutically acceptable non-toxic bases or acids including inorganic or organic bases and inorganic or organic acids.

15 Salts derived from inorganic bases include aluminium, ammonium, calcium, copper, ferric, ferrous, lithium, magnesium, manganic salts, manganous, potassium, sodium, zinc salts, and the like. Particularly preferred are the ammonium, calcium, magnesium, potassium, and sodium salts. Salts derived from pharmaceutically acceptable organic non-toxic bases include salts of
20 primary, secondary, and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines, and basic ion exchange resins, such as arginine, betaine, caffeine, choline, *N,N*-dibenzylethylenediamine, diethylamine, 2-diethylaminoethanol, 2-dimethylaminoethanol, ethanolamine, ethylenediamine, *N*-ethylmorpholine, *N*-ethylpiperidine, glucamine,
25 glucosamine, histidine, hydrabamine, isopropylamine, lysine, methylglucamine, morpholine, piperazine, piperidine, polyamine resins, procaine, purines, theobromine, triethylamine, trimethylamine, tripropylamine, tromethamine, and the like. When the compound of this invention is basic, salts may be prepared from pharmaceutically acceptable non-toxic acids, including inorganic and
30 organic acids. Such acids include, but are not restricted to, acetic,

benzenesulfonic, benzoic, camphorsulfonic, citric, etanesulfonic, fumaric, gluconic, glutamic, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, malonic, mandelic, methanesulfonic, mucic, nitric, pamoic, panthothenic, phosphoric, succinic, sulfuric, tartaric, and p-toluenesulfonic acid. Particularly preferred are citric, hydrobromic, hydrochloric, maleic, nitric, phosphoric, sulfuric, and tartaric acids. Salts in the solid form may exist in more than one crystal structure, and may also be in the form of hydrates.

The present invention includes within its scope prodrugs of the compounds of this invention. In general, such prodrugs will be functional derivatives of the compounds of this invention which are readily convertible *in vivo* into the required compound. Thus, in the methods of treatment of the present invention, the term "administering" shall encompass the treatment of the various conditions described with the compound specifically disclosed or with a compound which may not be specifically disclosed, but which converts to the specified compound *in vivo* after administration to the patient. Conventional procedures for the selection and preparation of suitable prodrug derivatives are described, for example in "Design of Prodrugs" ed. H. Bundgaard, Elsevier, 1985, which is incorporated by reference herein in its entirety.

The present invention includes within its scope metabolites of compounds of formulas (I) and (II). Metabolites of the compounds includes active species produced upon introduction of compounds of this invention into the biological milieu.

The present invention includes within its scope compounds of formulas (I) and (II) in isotopically labelled form.

The compounds of the present invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or

timed release formulations), pills, powder, granules, elixirs, tinctures, suspensions, syrups and emulsions. Likewise, they may also be administered in intravenous (bolus or infusion), intraperitoneal, topical (e.g. ocular eyedrop), subcutaneous, intramuscular, or transdermal (e.g. patch) form, all using forms well known to those of ordinary skill in the pharmaceutical arts.

The dosage regimen utilizing the compounds of the present invention is selected in accordance with a variety of factors including type, species, age, weight, sex, and medical condition of the patient; the severity of the condition to be treated; the route of administration; the renal and hepatic function of the patient; and the particular compound or salt thereof employed. An ordinarily skilled physician, veterinarian or clinician can readily determine and prescribe the effective amount of the drug required to prevent, counter or arrest the progress of the condition.

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Oral dosages of the present invention, when used for the indicated effects, will range between about 0.01 mg per kg of body weight per day (mg/kg/day) to about 100 mg/kg/day, preferably 0.01 mg per kg of body weight per day (mg/kg/day) to 10 mg/kg/day, and most preferably 0.1 to 5.0 mg/kg/day. For oral administration, the compositions are preferably provided in the form of tablets containing 0.01, 0.05, 0.1, 0.5, 1.0, 2.5, 5.0, 10.0, 15.0, 25.0, 50.0, 100, and 500 milligrams of the active ingredient for the symptomatic adjustment of the dosage to the patient to be treated. A medicament typically contains from about 0.01 mg to about 500 mg of the active ingredient, preferably from about 1 mg to about 100 mg of active ingredient. Intravenously, the most preferred doses will range from about 0.1 to about 10 mg/kg/minute during a constant rate infusion. Advantageously, compounds of the present invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three or four times daily. Furthermore, preferred compounds for the present invention can be administered in intranasal

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form via topical use of suitable intranasal vehicles, or via transdermal routes, using those forms of transdermal skin patches or iontophoretic devices well known to those of ordinary skill in the art. To be administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

In the methods of the present invention, the compounds herein described in detail can form the active ingredient, and are typically administered in admixture with suitable pharmaceutical diluents, excipients or carriers (collectively referred to herein as "carrier" materials) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, colloidal silicon dioxide, microcrystalline cellulose, methyl cellulose, sodium starch glycolate, magnesium stearate, calcium hydrogen phosphate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol, and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms includes sodium oleate, sodium stearate, magnesium stearate, sodium benzoate,

sodium acetate, sodium chloride, and the like. Disintegrators include without limitation starch, methylcellulose, agar, bentonite, xanthan gum, and the like.

5 The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles and multilamellar vesicles. Liposomes can be formed from *e. g.* phospholipids, cholesterol, stearylamine, or phosphatidylcholines.

10 The compounds of formulas (I) and (II) may be prepared by the exemplary processes described in the following reaction schemes. Exemplary reagents and procedures for these reactions appear hereinafter and in the working Examples.

15 Compounds of formulas (I) and (II) of the invention may be prepared using the sequence of steps outlined in Schemes 1 to 3 set out below. The groups R^1 , R^2 , and R^3 in Schemes 1 to 3 are as defined in formulas (I) and (II). The groups R^1 , R^2 , and R^3 may be modified one or several times, after or during the preparation of compounds of formulas (I) and (II) by methods known in the art. Examples of such methods include, but are not restricted to, substitutions, reductions, oxidations, alkylations, hydrolysis, esterifications, and etherifications.

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Scheme 1 describes a synthetic route that begins with the reaction between the diketopiperazine derivative 1 and an appropriately substituted amine to give the pyrazole-3-carboxamide 2. The reaction of 2 with an appropriately substituted electrophilic reagent R^3E affords the final product of formulas (I) and (II). If

25 R^3E is an acyl halide, a carboxylic acid anhydride, or a ketene, X and Y in compounds of formulas (I) and (II) is a bond and C=O, respectively.

Alternatively, compounds of formulas (I) and (II) where X is a bond and Y is C=O can be prepared by acylation of 2 with a carboxylic acid in combination with an activating agent such as carbonyldiimidazole, dicyclohexylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, 1-cyclohexylcarbodiimide,

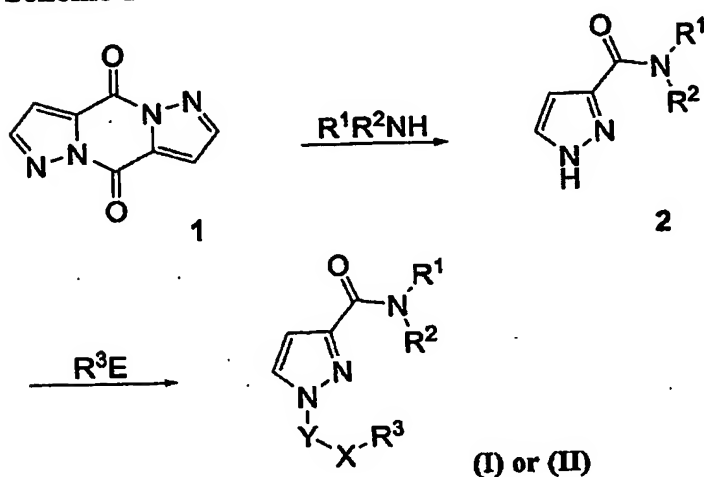
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diimide-3-propyloxymethyl polystyrene, benzotriazol-1-yloxytripyrrolidino-phosphonium hexafluorophosphate, or similar reagents known to those skilled in the art, in the presence of a suitable base such as triethylamine, N-ethyl-diisopropylamine, 4-dimethylaminopyridine, N-(methylpolystyrene)-4-

- 5 (methyldiisopropylamino) pyridine, or similar reagents known to those skilled in the art. Compounds of formulas (I) and (II) where X is a bond and Y is C=O may also be prepared by the reaction of 2 with *e. g.* phosgene or triphosgene, followed by a metalloorganic reagent, R^3M , where M is *e. g.* Mn, Fe, Ni, Cu, Zn, Pd, or Ce, or salts, or complexes thereof. Similarly, compounds of formulas (I) and (II)
- 10 where X is a bond and Y is C=S may be prepared by the reaction of 2 with *e. g.* thiophosgene, followed by a metalloorganic reagent, R^3M , where M is *e. g.* Mn, Fe, Ni, Cu, Zn, Pd, or Ce, or salts, or complexes thereof. If R^3E is an isocyanate, X and Y in compound of formulas (I) and (II) are NH and C=O, respectively. Compounds of formulas (I) and (II) where X is NR^8 (where R^8 is
- 15 other than hydrogen) and Y is C=O can also be prepared by the reaction of 2 with a carbamoylchloride or by the alkylation of compound of formulas (I) and (II) where X is NH and Y is C=O. If R^3E is a chloroformate or a similar reagent known to those skilled in the art, X and Y in compound of formulas (I) and (II) is O and C=O, respectively. An alternative preparation of compound of
- 20 formulas (I) and (II) where X is O or NR^8 is to treat 2 with *e. g.* phosgene, triphosgene, or carbonyldiimidazole, followed by an alcohol or an amine, respectively. Similarly, treatment of 2 with an isothiocyanate, or thiophosgene or thiocarbonyldiimidazole followed by an alcohol or an amine, affords compounds of formulas (I) and (II) where Y is C=S, and X is O or NR^8 ,
- 25 respectively. Compounds of formulas (I) and (II) where X is NR^8 (where R^8 is other than hydrogen) and Y is C=S can also be prepared by the reaction of 2 with a thiocarbamoylchloride or by the alkylation of compound of formulas (I) and (II) where X is NH and Y is C=S. If R^3E is an chlorothionoformates, or a similar reagent known to those skilled in the art, X and Y in compound of
- 30 formulas (I) and (II) is O and C=S, respectively. Compounds of formulas (I)

and (II) where Y is C=S may also be prepared from compounds of formulas (I) and (II) where Y is C=O by the action of P_2S_5 , Lawesson's reagent or by other reagents known to those skilled in the art.

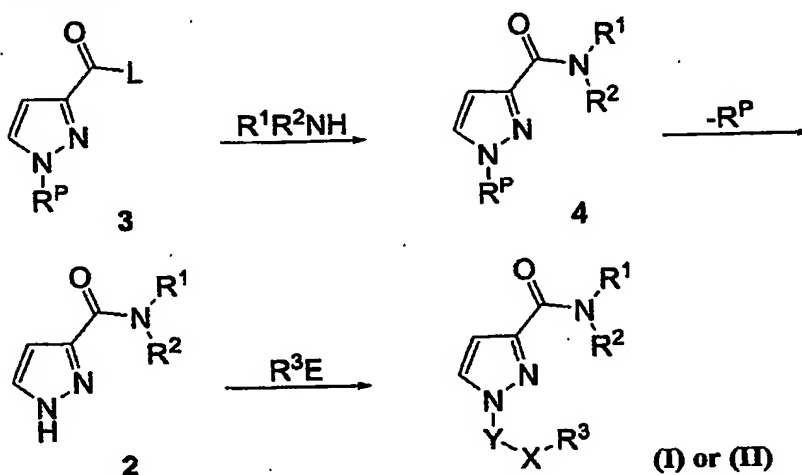
5 **Scheme 1.**



Scheme 2 describes a synthesis in which the first step is the transformation of the pyrazole-3-carboxylic acid derivative 3 into a carboxamide 4. L may be an activating group such as halogen, carboxylate, sulfonylate, or a similar group known to those skilled in the art. L may also be a hydroxy group (*i. e.* 3 is a carboxylic acid) in which case the reaction is performed in the presence of one or several activating reagents such as carbonyldiimidazole, an azo-dicarboxylate (Mitsunobo conditions), dicyclohexylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethyl-carbodiimide, 1-cyclohexylcarbodiimide-3-propyloxymethyl polystyrene, benzotriazol-1-yloxytripyrrolidinophosphonium hexafluorophosphate, or similar reagents known to those skilled in the art, in the presence of a suitable base such as triethylamine, N-ethyl-diisopropylamine, 4-dimethylaminopyridine, N-(methylpolystyrene)-4-(methylamino) pyridine, or similar reagents known to those skilled in the art. The substituent R^P can be either a hydrogen or an appropriate protecting group, such as *tert*-butoxy-carbonyl, trimethylsilyl, acyl, arylsulfonyl, or 3,4-dimethoxybenzyl, or a similar

group known to those skilled in the art. Removal of the protecting group by methods known to those skilled in the art, *e. g.* by hydrolysis, reduction, hydrogenolysis, or oxidation, gives compound 2. In case R^P is hydrogen, 4 is identical to 2. Finally, compound 2 is converted to the compound of formulas (I) and (II) as described in Scheme 1.

Scheme 2.

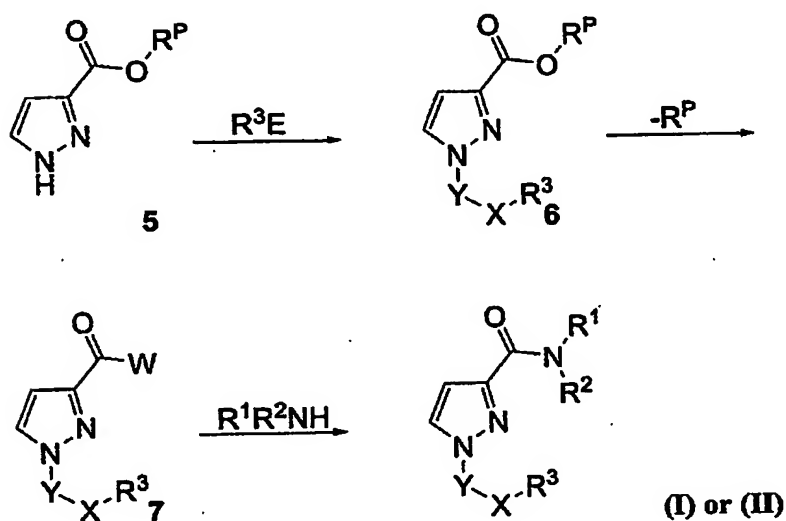


Scheme 3 describes a synthesis starting with pyrazole-3-carboxylic acid 5 (R^P is H) or a pyrazole-3-carboxylic acid derivative 5 (R^P is not H) where the carboxylic acid is protected with a suitable protecting group. Suitable protecting groups include, but are not restricted to, methyl, benzyl, or 3,4-dimethoxybenzyl. Compound 5 is transformed to compound 6 using the methods used for the synthesis of the compound of formulas (I) and (II) from 2 as described in Scheme 1. Removal of the protecting group R^P (R^P is not H) using methods known to those skilled in the art, *e. g.* by hydrolysis, reduction, hydrogenolysis, or oxidation, gives the carboxylic acid 7 (W is OH). In case R^P is hydrogen, 6 is identical to 7 (W is OH). Finally, compound 7 is directly converted to the carboxamide of formulas (I) and (II) as described in Scheme 2 for the transformation of 3 to 4, or indirectly via an intermediate 7 (W is not OH) where W is an activating group as described in Scheme 2.

Alternatively, compound 6 can be converted directly to the final product of formulas (I) and (II) by a reaction with an appropriate amine using methods known to those skilled in the art.

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Scheme 3.



- 10 All publications mentioned herein are hereby incorporated by reference. By the expression "comprising" means "including but not limited to". Thus, other non-mentioned substances, additives or carriers may be present.

- 15 The present invention will now be described with reference to the following Examples. These Examples are not to be regarded as limiting of the scope of the present invention, but shall only serve in an illustrative manner.

The following abbreviations are used in the examples:

DMF	dimethylformamide
DMSO	dimethylsulfoxide
5 EtOAc	ethyl acetate
MS	Mass spectrum
NMR	Nuclear Magnetic Resonance
THF	tetrahydrofuran

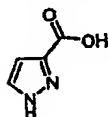
- 10 Chemicals specified in the synthesis of the compounds in the examples were commercially available from, e.g. Sigma-Aldrich Fine Chemicals.

EXAMPLES

- 15 Example 1. 1-Benzoyl-1H-pyrazole-3-carboxylic acid pyridin-3-ylamide (E1).

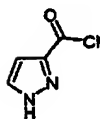
Step 1.

1H-Pyrazole-3-carboxylic acid.



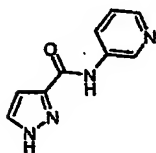
- 20 An aqueous solution of KMnO_4 (40.9 g, 0.26 mol) was added to a stirred solution of 3-methyl-1(2)H-pyrazole (9.8 ml, 0.12 mol) in 0.5 L water. The mixture was heated at reflux for 5 h. The black suspension was cooled, filtered and concentrated to a small volume. The solution was acidified with 3 N HCl and the white solid that formed was collected and washed with Et_2O . Yield:
- 25 100 %. ^1H NMR ($\text{DMSO}-d_6$, 200 MHz) δ 7.75 (d, $J=1.5$ Hz, 1H) and 6.75 (d, $J=1.5$ Hz, 1H).

Step 2.

1*H*-Pyrazole-3-carbonyl chloride.

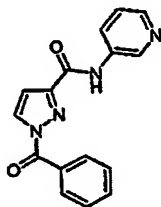
Thionyl chloride (20 mL) was added to a solution of 1*H*-pyrazole-3-carboxylic acid (5.0 g, 44.6 mmol) in THF (40 mL) at room temperature. The mixture was heated at reflux for 1 h, and concentrated to dryness. The solid was used without further purification.

Step 3.

10 1*H*-Pyrazole-3-carboxylic acid pyridin-2-ylamide.

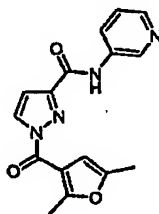
NaH (60 % dispersion in mineral oil, 1.34 g, 33.5 mmol) was added to a solution of pyridin-2-ylamine (3.0 g, 31.9 mmol) in THF (150 mL). The solution was stirred at room temperature for 1 hour and freshly prepared pyrazole-3-carbonyl chloride (4.66 g, 35.7 mmol) was added. The mixture was stirred for 1 h and 10 mL water was added. The mixture was concentrated to a small volume and extracted twice with EtOAc. The combined extracts were washed with H₂O, dried with Na₂SO₄ and concentrated to dryness. ¹H NMR (CDCl₃, 200 MHz) δ 10.07 (s, 1H), 8.49 (d, *J* = 8 Hz, 1H), 8.38 (d, *J* = 6 Hz, 1H), 7.83 (dd, *J* = 6 Hz, *J* = 8 Hz, 1H), 7.68 (d, *J* = 2.5 Hz, 1H), 7.14 (dd, *J* = 6 Hz, *J* = 8 Hz, 1H), 7.02 (d, *J* = 2.5 Hz, 1H)

Step 4.

1-Benzoyl-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide.

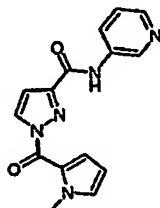
A solution of 1*H*-pyrazole-3-carboxylic acid pyridin-2-ylamide (100 mg, 0.53 mmol) in anhydrous THF (2mL) was added to NaH (60% dispersion in mineral oil, 22 mg, 0.56 mmole) with stirring at room temperature. After 1h, benzoyl chloride (65 μ L, 0.56 mmole) was added and the mixture was stirred for an additional hour. Water (1 mL) was added and the mixture was concentrated to dryness. EtOAc (2 mL) and Na₂CO₃ (0.2 M, 1 mL) were added and the phases were separated. The organic layer was washed with NaCl (aq. sat.), passed through a short column of silica-gel and concentrated to dryness. The residue was triturated with Et₂O to afford the title compound (40 mg) as a white solid. MS (M⁺+H) *m/z* 293.

Example 2. 1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide (**E2**).



The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid pyridin-2-ylamide and 2,5-dimethyl-3-furoyl chloride. MS (M⁺+H) *m/z* 311.

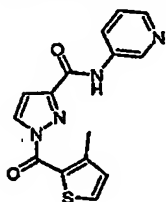
Example 3. 1-(1-Methyl-1H-pyrrol-2-yl)-1H-pyrazole-3-carboxylic acid pyridin-3-ylamide (E3).



- 5 The title compound was prepared as described in Example 1, Step 4, from 1H-pyrazole-3-carboxylic acid pyridin-2-ylamide and 1-methyl-2-pyrrolyl chloride. MS ($M^+ + H$) m/z 296.

Example 4. 1-(3-Methyl-2-thienoyl)-1H-pyrazole-3-carboxylic acid pyridin-3-ylamide (E4).

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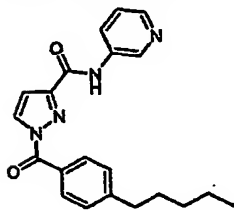


The title compound was prepared as described in Example 1, Step 4, from 1H-pyrazole-3-carboxylic acid pyridin-2-ylamide and 3-methyl-2-thienoyl chloride. MS ($M^+ + H$) m/z 313.

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Example 5. 1-(4-Pentylbenzoyl)-1H-pyrazole-3-carboxylic acid pyridin-3-ylamide (E5).



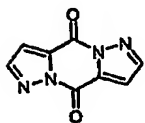
- The title compound was prepared as described in Example 1, Step 4, from
5 1H-pyrazole-3-carboxylic acid pyridin-2-ylamide and 4-pentylbenzoyl chloride.
MS ($M^+ + H$) m/z 363.

Example 6. 2- {[1-(2-Ethoxybenzoyl)-1H-pyrazole-3-carbonyl]amino} thiophene-3-carboxylic acid methyl ester (E6).

10

Step 1.

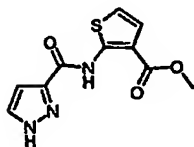
Dipyrazolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione.



- 15 A stirred suspension of pyrazole-3-carboxylic acid (5.0 g, 44.6 mmol) in thionyl chloride (40 mL) was heated at reflux for 10 h. The white solid was collected, washed with Et₂O, and used without further purification.

Step 2.

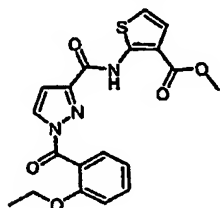
2-[(1*H*-pyrazole-3-carbonyl)amino]thiophene-3-carboxylic acid methyl ester.



- 5 NaH (60% dispersion in mineral oil, 0.61 g, 15.4 mmole,) was added to a solution of dipyrazolo[1,5-a;1',5'-d]pyrazine-4,9-dione (2.4 g, 12.7 mmol) and 2-aminothiophene-3-carboxylic acid methyl ester ((2.0 g, 12.7 mmol) in THF (100 mL) at room temperature. The mixture was stirred for 1 h and 10 mL water was added. The mixture was concentrated to a small volume and
- 10 extracted twice with EtOAc. The combined extracts were washed with H₂O, dried with Na₂SO₄ and concentrated to dryness. ¹H NMR (DMSO-*d*₆, 200 MHz) δ 8.0 (d, *J* = 2 Hz, 1H), 7.21 (d, *J* = 5.8 Hz, 1H), 7.06 (d, *J* = 5.8 Hz, 1H), 6.87 (d, *J* = 2 Hz, 1H) and 3.86 (s, 3H).

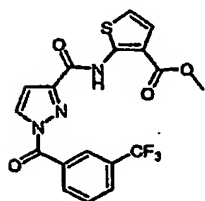
15 Step 3.

2-{[1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carbonyl]amino}thiophene-3-carboxylic acid methyl ester



- 20 The title compound was prepared as described in Example 1, Step 4, from 2-[(1*H*-pyrazole-3-carbonyl)amino]thiophene-3-carboxylic acid methyl ester and 2-ethoxybenzoyl chloride. MS (*M*⁺+H) *m/z* 400.

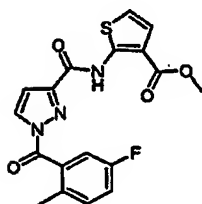
Example 7. 2-{[1-(3-Trifluoromethylbenzoyl)-1H-pyrazole-3-carbonyl]amino}-thiophene-3-carboxylic acid methyl ester (E7).



- 5 The title compound was prepared as described in Example 1, Step 4, from 2-[(1H-pyrazole-3-carbonyl)amino]thiophene-3-carboxylic acid methyl ester and 3-trifluorobenzoyl chloride. MS ($M^+ + H$) m/z 424.

Example 8. 2-{[1-(5-Fluoro-2-methylbenzoyl)-1H-pyrazole-3-carbonyl]amino}-thiophene-3-carboxylic acid methyl ester (E8).

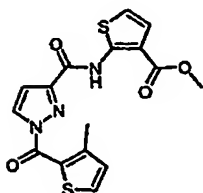
10



- The title compound was prepared as described in Example 1, Step 4, from 2-[(1H-pyrazole-3-carbonyl)amino]thiophene-3-carboxylic acid methyl ester and 5-fluoro-2-methylbenzoyl chloride. MS ($M^+ + H$) m/z 388.

15

Example 9. 2-{[1-(3-Methyl-2-thienoyl)-1H-pyrazole-3-carbonyl]-amino}thiophene-3-carboxylic acid methyl ester (E9).



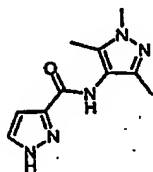
- 5 The title compound was prepared as described in Example 1, Step 4, from 2-[(1H-pyrazole-3-carbonyl)amino]thiophene-3-carboxylic acid methyl ester and 3-methyl-2-thienoyl chloride. MS ($M^+ + H$) m/z 376.

Example 10. 1-(2,5-Dimethyl-3-furoyl)-1H-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1H-pyrazol-4-yl)amide (E10).

10

Step 1.

1H-Pyrazole-3-carboxylic acid (1,3,5-trimethyl-1H-pyrazol-4-yl)amide.



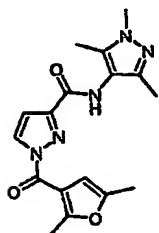
15

The title compound was prepared as described in Example 6, Step 2, from dipyrazolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione and 1,3,5-trimethyl-1H-pyrazol-4-ylamine. 1H NMR (DMSO- d_6 , 200 MHz) δ 11.7 (m, 1H), 9.27 (m, 1H), 7.86 (m, 1H), 3.64 (s, 3H), 2.04 (s, 3H) and 1.95 (s, 3H).

20

Step 2.

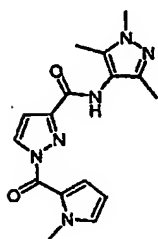
1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide.



5

The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide and 2,5-dimethyl-3-furoyl chloride. MS ($M^+ + H$) m/z 342.

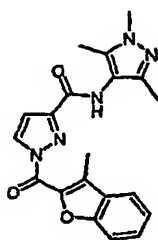
10 Example 11. 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide (E11).



The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-pyrazol-4-yl)amide and 1-methyl-2-pyrrolyl chloride. MS ($M^+ + H$) m/z 327.

15

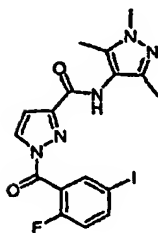
Example 12. 1-(3-Methyl-2-benzofuroyl)-1H-pyrazole-3-carboxylic acid
(1,3,5-trimethyl-1H-pyrazol-4-yl)amide (E12).



- 5 The title compound was prepared as described in Example 1, Step 4, from 1H-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1H-pyrazol-4-yl)amide and 3-methyl-2-benzofuroyl chloride. MS ($M^+ + H$) m/z 378.

Example 13. 1-(2-Fluoro-5-iodobenzoyl)-1H-pyrazole-3-carboxylic acid
(1,3,5-trimethyl-1H-pyrazol-4-yl)amide (E13).

- 10

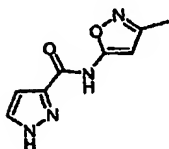


- The title compound was prepared as described in Example 1, Step 4, from 1H-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1H-pyrazol-4-yl)amide and 2-fluoro-5-iodobenzoyl chloride. MS ($M^+ + H$) m/z 468.
- 15

Example 14. 1-(2-Ethoxybenzoyl)-1H-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide (E14).

Step 1.

- 5 1H-Pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide.

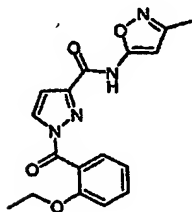


The title compound was prepared as described in Example 6, Step 2, from dipyrazolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione and 3-methylisoxazol-5-ylamine.

- 10 ¹H NMR (DMSO-*d*₆, 200 MHz) δ 7.85 (d, *J* = 2 Hz, 1H), 6.86 (d, *J* = 2 Hz, 1H), 6.24 (s, 1H), 2.2 (s, 3H).

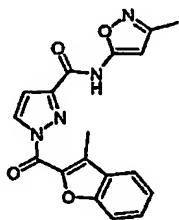
Step 2.

- 15 1-(2-Ethoxybenzoyl)-1H-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)-amide



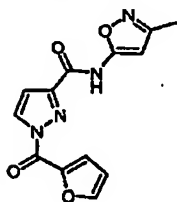
- 20 The title compound was prepared as described in Example 1, Step 4, from 1H-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide and 2-ethoxybenzoyl chloride. MS (M⁺+H) *m/z* 341.

Example 15. 1-(3-Methyl-2-benzofuroyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide (E15).



- 5 The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide and 3-methyl-2-benzofuroyl chloride. MS ($M^+ + H$) m/z 351.

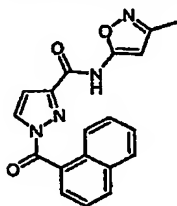
Example 16. 1-(2-Furoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide (E16).



The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide and 2-furoyl chloride. MS ($M^+ + H$) m/z 287.

15

Example 17. 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide (E17).



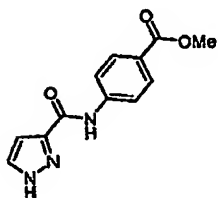
The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide and 1-naphtoyl chloride. MS ($M^+ + H$) m/z 347.

5 Example 18. 4-[[1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carbonyl]-amino]benzoic acid methyl ester (E18).

Step 1.

4-[(1*H*-Pyrazole-3-carbonyl)amino]benzoic acid methyl ester.

10

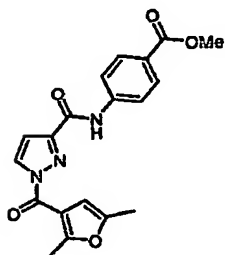


Freshly prepared pyrazole-3-carbonyl chloride (5.80 g, 44.6 mmol) was added to a solution of 4-aminobenzoic acid methyl ester (2.7 g, 17.9 mmol) in pyridine (50 mL). The solution was stirred at 85 °C for 3h and concentrated. The residue
15 was extracted twice with EtOAc and the combined extracts were washed with H₂O, dried with Na₂SO₄ and concentrated to dryness. The solid was washed with Et₂O to give the title compound. ¹H NMR (CDCl₃, 200 MHz) δ 9.0 (s, 1H), 8.1 (d, *J* = 6 Hz, 2 H), 7.8 (d, *J* = 6 Hz, 2 H), 7.7 (s, *J* = 2 Hz, 1H), 7.05 (s, *J* = 2 Hz, 1H), 3.93 (s, 3H).

20

Step 2.

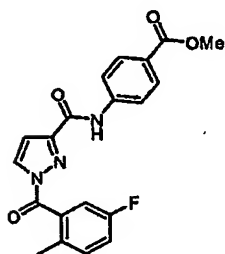
4-{{[1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carbonyl]amino}benzoic acid methyl ester.



5

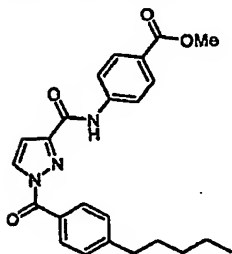
The title compound was prepared as described in Example 1, Step 4, from 4-[(1*H*-pyrazole-3-carbonyl)amino]benzoic acid methyl ester and 2,5-dimethyl-3-furoyl chloride. MS ($M^+ + H$) m/z 368.

10 Example 19. 4-{{[1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carbonyl]amino}benzoic acid methyl ester (E19).



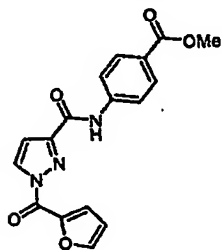
15 The title compound was prepared as described in Example 1, Step 4, from 4-[(1*H*-pyrazole-3-carbonyl)amino]benzoic acid methyl ester and 5-fluoro-2-methylbenzoyl chloride. MS ($M^+ + H$) m/z 382.

Example 20. 4-[[1-(4-Pentylbenzoyl)-1H-pyrazole-3-carbonyl]amino]benzoic acid methyl ester (E20).



The title compound was prepared as described in Example 1, Step 4, from
5 4-[(1H-pyrazole-3-carbonyl)amino]benzoic acid methyl ester and
4-pentylbenzoyl chloride. MS ($M^+ + H$) m/z 420.

Example 21. 4-[[1-(2-Furoyl)-1H-pyrazole-3-carbonyl]amino]benzoic acid methyl ester (E21).



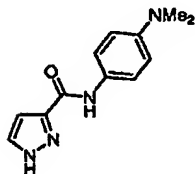
10

The title compound was prepared as described in Example 1, Step 4, from
4-[(1H-pyrazole-3-carbonyl)amino]benzoic acid methyl ester and 2-furoyl
chloride. MS ($M^+ + H$) m/z 340.

Example 22. 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide (E22).

Step 1.

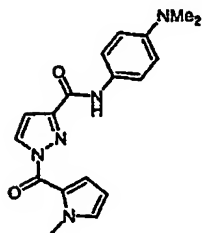
- 5 1*H*-Pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide.



- 10 Dipyrzolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione (1.0 g, 5.3 mmol) was added to a solution of dimethylaminophenylamine dihydrochloride (2.0 g ; 11.6 mmol) and Et₃N (3.2 mL, 23.2 mmol) in DMF (20 mL). The mixture was stirred at 85 °C for 1h and concentrated. The residue was extracted twice with EtOAc and the combined extracts were washed with H₂O, dried with Na₂SO₄ and concentrated to dryness. The residue was purified by chromatography. ¹H NMR (CDCl₃,
- 15 200 MHz) δ 8.55 (s, 1H), 7.6 (d, *J* = 2.5 Hz, 1 H), 7.52 (d, *J* = 9 Hz, 2 H), 6.91 (d, *J* = 2.5 Hz, 1H), 6.74 (s, *J* = 9 Hz, 2H), 2.92 (s, 6 H)

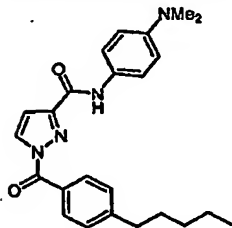
Step 2.

- 20 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide



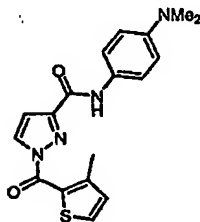
The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide and 1-methyl-2-pyrrolyl chloride. MS ($M^+ + H$) m/z 338.

5 Example 23. 1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide (E23).



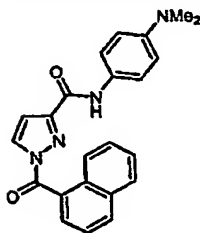
The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide and 4-pentylbenzoyl chloride. MS ($M^+ + H$) m/z 405.

10 Example 24. 1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide (E24).



15 The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide and 3-methyl-2-thienoyl chloride. MS ($M^+ + H$) m/z 355.

Example 25. 1-(1-Naphthoyl)-1H-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide (E25).



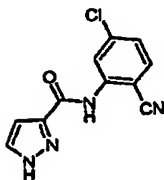
- The title compound was prepared as described in Example 1, Step 4, from
 5 1H-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide and 1-naphthoyl
 chloride. MS ($M^+ + H$) m/z 385.

Example 26. 1-(2-Ethoxybenzoyl)-1H-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide (E26).

10

Step 1.

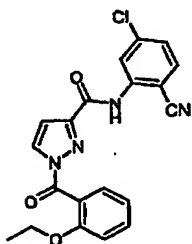
1H-Pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide.



- 15 The title compound was prepared as described in Example 6, Step 2, from
 dipyrazolo[1,5- α ;1',5'- d]pyrazine-4,9-dione and 5-chloro-2-cyanoaniline.
 1H NMR ($CDCl_3$, 200 MHz) δ 10.3 (s, 1H), 8.03 (m, 1 H), 7.98 (m, 1 H), 7.93
 (d, $J=8$ Hz, 1H), 7.48 (2, $J=8$ Hz, 1H), 6.86 (m, 1 H).

Step 2.

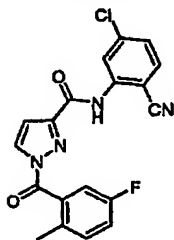
1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)-amide.



- 5 The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide and 2-ethoxybenzoyl chloride. MS ($M^+ + H$) m/z 395.

Example 27. 1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide (E27).

10

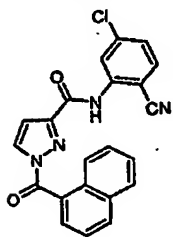


The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide and 5-fluoro-2-methylbenzoyl chloride. MS ($M^+ + H$) m/z 383.

15

N#Cc1cc(Cl)ccc1NC(=O)c2cnc(C(=O)c3ccoc3)c2

Example 29. 1-(1-Naphthoyl)-1H-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide (E29).

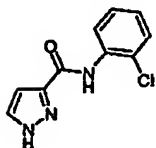


The title compound was prepared as described in Example 1, Step 4, from 1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide and 1-naphtoyl chloride. MS ($M^+ + H$) m/z 401.

**Example 30. 1-Oleoyle-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide
(E30).**

Step1.

5 1*H*-Pyrazole-3-carboxylic acid (2-chlorophenyl)amide

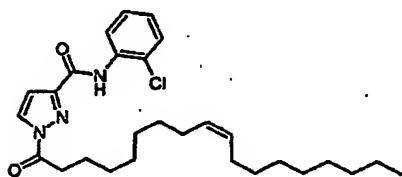


A mixture of dipyrazolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione (1.88 g, 10 mmol),
2-chloroaniline (6.38 g, 50 mmol) and 4-(*N,N*-dimethylamino)pyridine (1.22 g,
10 mmol) was stirred at 120 °C for 30 min. After cooling to room temperature,
EtOH (20 mL), followed by water (100 mL) and isohexane (100 mL) was
added. The mixture was shaken for 10 min. The solid was filtered off, washed
with 50% aqueous EtOH (20 mL), water (50 mL) and isohexane (50 mL), and
dried in vacuum, to give the title compound. Yield 2.45 g (55%).

15

Step 2.

1-Oleoyle-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide.

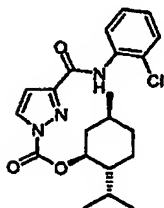


20 A mixture of 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (55 mg,
0.25 mmol), oleoyl chloride (146 mg, 0.30 mmol) and 4-(*N,N*-dimethylamino)-
pyridine (36 mg, 0.30 mmol) in CH₂Cl₂ (5 mL) was stirred at 40°C for 2 days.
The mixture was diluted with CH₂Cl₂ (10 mL), washed with water (2×10 mL)
and concentrated. Chromatographic purification gave the title compound

(83 mg, 68%). MS ($M^+ + H$) m/z 486. 1H NMR ($CDCl_3$, 400 MHz) δ 9.41 (s, 1H), 8.56 (dd, $J = 8$ Hz, 1 Hz, 1H), 8.30 (d, $J = 3$ Hz, 1H), 7.42 (dd, $J = 8$ Hz, 1 Hz, 1H), 7.33 (td, $J = 8$ Hz, 1 Hz, 1H), 7.08 (td, $J = 8$ Hz, 1 Hz, 1H), 7.03 (d, $J = 3$ Hz, 1H), 5.36 (ddd, $J = 11$ Hz, 6 Hz, 3 Hz, 1H), 5.32 (ddd, $J = 11$ Hz, 6 Hz, 3 Hz, 1H), 3.17 (t, $J = 8$ Hz, 2H), 1.96-2.08 (m, 4H), 1.78-1.88 (m, 2H), 1.18-1.50 (m, 20H), 0.86 (t, $J = 7$ Hz, 3H). ^{13}C NMR ($CDCl_3$, 100.5 MHz) δ 172.03, 158.7, 150.2, 134.4, 130.3, 130.2, 129.8, 129.2, 128.0, 124.9, 123.0, 121.3, 109.5, 33.92, 32.0, 29.85, 29.77, 29.6, 29.4 (2C), 29.31, 29.25, 29.18, 27.3, 27.2, 24.6, 22.8, 14.2.

10

Example 31. 3-(2-Chlorophenylcarbamoyl)-1H-pyrazole-1-carboxylic acid (1R,2S,5R)-(-)-menthol ester (E31).

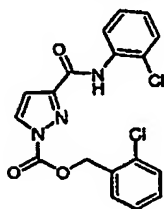


- 15 A mixture of 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (56 mg, 0.25 mmol), (-)-menthyl chloroformate (82 mg, 0.38 mmol), and 4-(N,N-dimethylamino)pyridine (45 mg, 375 μ mol) in dichloromethane (5 mL) was stirred at 40 °C for 16 h. The mixture was concentrated, dissolved in EtOAc, washed with water, and dried with $MgSO_4$. Chromatographic purification
- 20 afforded the title product (69 mg, 69%). MS ($M^+ + H$) m/z = 404. 1H NMR ($CDCl_3$, 400 MHz) δ 9.42 (s, 1H), 8.49 (dd, $J = 9$, 2 Hz, 1H), 8.18 (d, $J = 3$ Hz, 1H), 7.41 (dd, $J = 9$, 2 Hz, 1H), 7.31 (dt, $J = 9$, 2 Hz, 1H), 7.07 (dt, $J = 9$, 2 Hz, 1H), 7.01 (d, $J = 3$ Hz, 1H), 4.92 (dt, $J = 12$, 4 Hz, 1H), 2.25 (m, 1H), 2.01 (dsept, $J = 8$, 3 Hz, 1H), 1.80-1.70 (m, 2H), 1.70-1.50 (m, 2H), 1.29-1.08 (m, 2H), 0.95 (dd, $J = 7$, 2 Hz, 7H), 0.84 (d, $J = 7$ Hz, 3H). ^{13}C NMR (100.5 MHz)
- 25

δ 158.7, 150.5, 148.3, 134.3, 132.6, 129.2, 127.7, 124.8, 123.4, 121.5, 109.1, 80.5, 47.0, 40.4, 33.9, 31.4, 26.7, 23.8, 21.9, 20.5, 16.7.

Example 32. 3-(2-Chlorophenylcarbamoyl)-1H-pyrazole-1-carboxylic acid

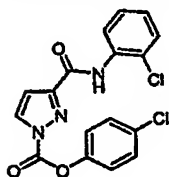
5 **2-chlorobenzyl ester (E32).**



The title compound was prepared as described in Example 31 from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 2-chlorobenzyl chloroformate. MS ($M^+ + H$) m/z 390. 1H NMR ($CDCl_3$, 400 MHz) δ 9.44 (s, 1H), 8.49 (dd, $J = 8$ Hz, 1 Hz, 1H), 8.21 (d, $J = 3$ Hz, 1H), 7.59 (dd, $J = 7$ Hz, 1H), 7.39-7.47 (m, 2H), 7.28-7.38 (m, 3H), 7.07 (td, $J = 8$ Hz, 1 Hz, 1H), 7.02 (d, $J = 3$ Hz, 1H), 5.62 (s, 2H). ^{13}C NMR (100.5 MHz) δ 151.0, 148.5, 134.3, 134.0, 133.1, 131.9, 130.5, 130.4, 130.0, 129.3, 127.8, 127.2, 125.0, 123.5, 121.6, 109.5.

15

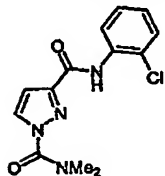
Example 33. 3-(2-Chlorophenylcarbamoyl)-1H-pyrazole-1-carboxylic acid 4-chlorophenyl ester (E33).



The title compound was prepared as described in Example 31 from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 4-chlorophenyl chloroformate. MS ($M^+ + H$) m/z 376.

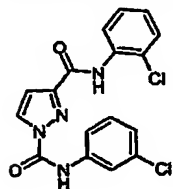
20

**Example 34. 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
1-dimethylamide (E34).**



The title compound was prepared as described in Example 30, Step 2, from
5 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and *N,N*-dimethyl-
carbamoyl chloride. MS ($M^+ + H$) m/z 293.

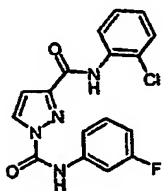
**Example 35. 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide]
3-[(2-chlorophenyl)amide] (E35).**



10

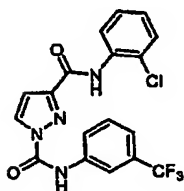
A mixture of 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (55 mg,
0.25 mmol), 3-chlorophenylisocyanate (46 mg, 0.30 mmol) and toluene (5 mL)
was stirred at 100 °C for 18 h. The solution was concentrated and isohexane
(10 mL) was added. The title compound was filtered off and washed with
15 isohexane (10 mL). Yield 65 mg (69%). MS ($M^+ + H$) m/z 375. 1H NMR (C_6D_6 ,
400 MHz) δ 9.05 (s, 1H), 8.91 (d, J = 8 Hz, 1H), 8.31 (s, 1H), 7.72 (d, J = 3 Hz,
1H), 7.61 (s, 1H), 7.07 (d, J = 8 Hz, 1H), 6.94 (t, J = 8 Hz, 1H), 6.85 (d, J =
8 Hz, 1H), 6.72 (t, J = 8 Hz, 1H), 6.69 (d, J = 3 Hz, 1H), 6.58 (t, J = 8 Hz, 1H).
 ^{13}C NMR (100.5 MHz) δ 158.1, 149.1, 145.3, 137.5, 135.0, 134.7, 130.6, 130.1,
20 129.0, 128.4, 124.9, 124.7, 122.5, 121.3, 119.6, 117.5, 109.4.

Example 36. 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
1-[(3-fluorophenyl)amide] (E36).



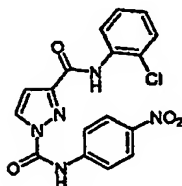
- 5 The title compound was prepared as described in Example 35 from 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 3-fluorophenylisocyanate. MS ($M^+ + H$) m/z 359.

Example 37. 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
1-[(3-trifluoromethylphenyl)amide] (E37).



- 15 The title compound was prepared as described in Example 35 from 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 3-trifluoromethylphenylisocyanate. MS ($M^+ + H$) m/z 409.

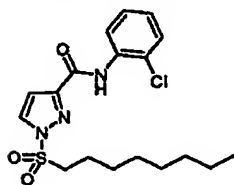
**Example 38. 1H-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
1-[(4-nitrophenyl)amide] (E38).**



- 5 The title compound was prepared as described in Example 35 from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 4-nitrophenyl-isocyanate. MS ($M^+ + H$) m/z 386.

**Example 39. 1-(Octane-1-sulfonyl)-1H-pyrazole-3-carboxylic acid
(2-chlorophenyl)amide (E39).**

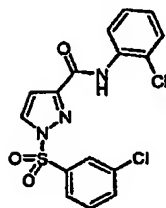
10



The title compound was prepared as described in Example 30, Step 2, from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 1-octanesulfonyl chloride. MS ($M^+ + H$) m/z 398.

15

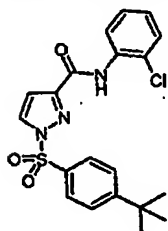
**Example 40. 1-(3-Chlorobenzenesulfonyl)-1H-pyrazole-3-carboxylic acid
(2-chlorophenyl)amide (E40).**



- 20 The title compound was prepared as described in Example 30, Step 2, from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 3-chlorobenzenesulfonyl chloride.

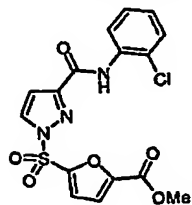
sulfonyl chloride. MS ($M^+ + H$) m/z 396. 1H NMR ($CDCl_3$, 400 MHz) δ 9.25 (s, 1H), 8.45 (dd, $J = 8$ Hz, 1 Hz, 1H), 8.17 (d, $J = 3$ Hz, 1H), 8.09 (t, $J = 2$ Hz, 1H), 7.97 (dt, $J = 8$ Hz, 1 Hz, 1H), 7.68 (ddd, $J = 8$ Hz, 2 Hz, 1 Hz, 1H), 7.54 (t, $J = 8$ Hz, 1H), 7.41 (dd, $J = 8$ Hz, 1 Hz, 1H), 7.29 (td, $J = 8$ Hz, 1 Hz, 1H), 7.07 (td, $J = 8$ Hz, 1 Hz, 1H), 7.00 (d, $J = 3$ Hz, 1H). ^{13}C NMR (100.5 MHz) δ 152.0, 137.8, 136.0, 135.5, 134.1, 133.1, 131.0, 129.3, 128.7, 127.9, 126.6, 125.1, 123.3, 121.4, 121.3, 109.2.

Example 41. 1-(4-*tert*-Butylbenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (E41).



The title compound was prepared as described in Example 30, Step 2, from 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 4-*tert*-butylbenzenesulfonyl chloride. MS ($M^+ + H$) m/z 418. 1H NMR ($CDCl_3$, 400 MHz) δ 9.27 (s, 1H), 8.45 (dd, $J = 8$ Hz, 1 Hz, 1H), 8.16 (d, $J = 3$ Hz, 1H), 7.98-8.02 (m, 2H), 7.56-7.61 (m, 2H), 7.40 (dd, $J = 8$ Hz, 1 Hz, 1H), 7.29 (td, $J = 8$ Hz, 1 Hz, 1H), 7.07 (td, $J = 8$ Hz, 1 Hz, 1H), 6.96 (d, $J = 3$ Hz, 1H), 1.33 (s, 9H). ^{13}C NMR (100.5 MHz) δ 159.6, 158.3, 151.3, 134.3, 133.1, 132.9, 129.3, 128.6 (2C), 127.9, 126.8 (2C), 125.0, 123.2, 121.4, 108.8, 35.6, 31.0 (3C).

Example 42. 5-[3-(2-Chlorophenylcarbamoyl)-1H-pyrazole-1-sulfonyl]furan-2-carboxylic acid methyl ester (E42).



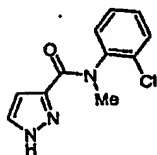
- The title compound was prepared as described in Example 30, Step 2, from
 5 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide and 5-carbomethoxy-2-pyrrolesulfonyl chloride. MS ($M^+ + H$) m/z 418.

Example 43. 1-(3-Chlorobenzoyl)-1H-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide (E43).

10

Step 1.

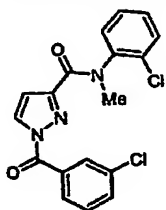
1H-Pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide.



- 15 The title compound was prepared as described in Example 30, Step 1, from dipyrzolo[1,5-*a*;1',5'-*d*]pyrazine-4,9-dione and 2-chloro-*N*-methylaniline. MS ($M^+ + H$) m/z 236.

Step 2.

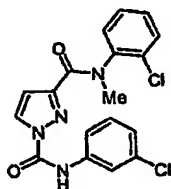
1-(3-Chlorobenzoyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)-methylamide.



- 5 The title compound was prepared as described in Example 30, Step 2, from 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide and 3-chlorobenzoyl chloride. MS ($M^+ + H$) m/z 374.

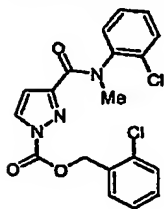
Example 44. 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide]

- 10 3-[(2-chlorophenyl)methylamide] (E44).



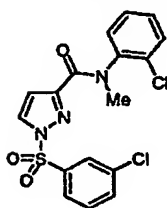
- The title compound was prepared as described in Example 35 from 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide and 3-chlorophenylisocyanate. MS ($M^+ + H$) m/z 389.
- 15

Example 45. 3-[(2-Chlorophenyl)methylcarbamoyl]-1H-pyrazole-1-carboxylic acid 2-chlorobenzyl ester (E45).



- 5 The title compound was prepared as described in Example 31 from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide and 2-chlorobenzyl chloroformate. MS ($M^+ + H$) m/z 404.

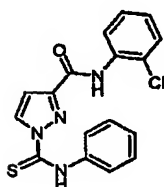
Example 46. 1-(3-Chlorobenzenesulfonyl)-1H-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide (E46).



10

- The title compound was prepared as described in Example 30 from 1H-pyrazole-3-carboxylic acid (2-chlorophenyl)methylamide and 3-chlorobenzenesulfonyl chloride. MS ($M^+ + H$) m/z 410.

- 15 **Example 47. 1-Phenylthiocarbamoyl-1H-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (E47).**



A mixture of 1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide (10 mg, 44.5 μ mol), phenylisothiocyanate (30 mg, 0.22 mmol) and anhydrous K₂CO₃ (69 mg, 0.5 mmol) in dry acetone (2 mL) was stirred for 5 h at room temperature, filtered and concentrated. Chromatographic purification gave the title compound (12 mg, 76%) as a white solid. MS (M⁺+H) *m/z* 357. ¹H NMR (400 MHz) δ 10.52 (s, 1H), 9.22 (s, 1H), 8.84 (d, *J* = 3 Hz, 1H), 8.55 (dd, *J* = 9, 2 Hz, 1H), 7.80 (m [app d, *J* = 8 Hz], 2H), 7.50 (m [app t, *J* = 8 Hz], 2H), 7.43 (dd, *J* = 9, 2 Hz, 1H), 7.35 (m [app dq, *J* = 7, 1 Hz], 2H), 7.11 (dt, *J* = 8, 1 Hz, 1H), 7.06 (d, *J* = 3 Hz, 1H). ¹³C NMR (100.5 MHz) δ 172.0, 158.3, 148.7, 136.7, 134.1, 133.2, 129.23, 129.16, 128.0, 127.4, 125.0, 123.6, 122.9, 121.4, 110.0.

Example 48: Preparation of pharmaceutical compositions

15	Ingredients	mg/tablet
	1. Active compound	10.0
	2. Cellulose, microcrystalline	57.0
	3. Calcium hydrogen phosphate	15.0
	4. Sodium starch glycolate	5.0
20	5. Silicon dioxide, colloidal	0.25
	6. Magnesium stearate	0.75

The active ingredient 1 is mixed with ingredients 2, 3, 4 and 5 for about 10 minutes. The magnesium stearate is then added, and the resultant mixture is mixed for about 5 minutes and compressed into tablet form with or without film-coating.

Example 49: Enzyme assay and results.

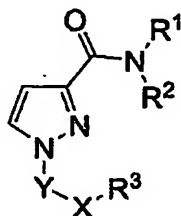
- The assay described herein takes advantage of the ability of lipid hydroperoxides to oxidize the non-fluorescent diphenyl-1-pyrenylphosphine (DPPP) to its corresponding fluorescent phosphine oxide. Fluorescence is measured using a dual-scanning microplate spectrofluorometer, Spectramax Gemini, from Molecular Devices. DPPP was purchased from Molecular Probes. Linoleic acid was from Biomol and PBS (phosphate buffered saline) from Gibco Life Technologies. The assay is performed in 96-well plates at room temperature (20-22 °C). The following is chronologically added to each well:
- a) 35 µl of Dulbecco's phosphate buffered saline (PBS).
 - b) Inhibitor or vehicle (0,5 µl DMSO)
 - c) 10 µl of a 5 times concentrated 15-lipoxygenase solution in PBS. The plates are incubated for 5 minutes at room temperature.
 - d) 5 µl of 2 mM linoleic acid in PBS. The plate is then incubated for 20 minutes at room temperature.
 - e) The enzymatic reaction is terminated by the addition of 50 µl methanol.
 - f) 50 µl of 200 µM DPPP in methanol is added to each well. After 30 minutes at room temperature, the fluorescence can be read using an excitation wavelength of 358 nm and an emission wavelength of 379 nm.

The inhibition of 15-lipoxygenase obtained using the method described above is exemplified by the compounds listed in the following table:

Example 1:	45%
Example 8:	50%
Example 14:	39%
Example 23:	44%
Example 26:	45%

Claims

1. A compound of formula (I):



5

(I)

wherein:

- R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,

- $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 5 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 10 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 15 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring;
 20 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
 $(R^5)(R^6)C=$;
 n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
 25 substituted by one or more halogens; or where R^1 and R^2 are optionally joined
 to form a 5-7 membered ring, and which ring optionally contains 1-3
 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a
 group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 30 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,

- $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
5 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z , provided
that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
10 alkyl, and heteroaryl residues are optionally and independently substituted by
one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
15 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
20 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
25 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
30 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,

$(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring;

5 X is selected from a bond, O , or NR^8 ;

Y is selected from $C=O$, $C=S$, and SO_2 ;

R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
 cycloalkyl, or heteroaryl, optionally and independently substituted in one or
 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,

10 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

15 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,

20 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 25 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 30 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,

- $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 5 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
- 10 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 15 is not directly attached to an aryl or heteroaryl ring;
- R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -heterocycloalkyl, optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl,
- 20 aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
- 25 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
- 30 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and

- heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²),
- 5 (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³),
- 10 R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7
- 15 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²),
- 20 (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,
- 25 (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 30 groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,

- C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
5 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
10 $R^9OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or
heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -
alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and
independently substituted by one or more groups selected from halogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
15 C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
20 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z, provided that Z
is not directly attached to an aryl or heteroaryl ring;
25 R^9 , R^{10} , R^{11} , R^{12} and R^{13} are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N,
HO, C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
30 optionally and independently substituted by one or more halogens;

- or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are optionally and independently substituted by one or more halogens; with the proviso that:
- when R^2 is H, Y is C=O, X is a bond, and R^3 is phenyl, R^1 is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl;
- 10 when R^2 is H, Y is C=O, X is a bond, and R^3 is 4-fluorophenyl, R^1 is not 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, or 2,4-dimethylphenyl; when R^2 is H, Y is C=O, X is a bond, and R^3 is 2-chlorophenyl, R^1 is not phenyl, 3-bromophenyl, or 4-bromophenyl; when R^2 is H, Y is C=O, X is a bond, and R^3 is 3-chlorophenyl, R^1 is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl;
- 15 when R^2 is H, Y is C=O, X is a bond, and R^3 is 4-chlorophenyl, R^1 is not 3-bromophenyl, or 4-methoxyphenyl; when R^2 is H, Y is C=O, X is a bond, and R^3 is 3-iodophenyl, R^1 is not 2-methoxyphenyl, or 2,4-dimethylphenyl;
- 20 when R^2 is H, Y is C=O, X is a bond, and R^3 is 2,4-dichlorophenyl, R^1 is not 4-chlorophenyl, or 2,3-dichlorophenyl; when R^2 is H, Y is C=O, X is a bond, and R^3 is 3,5-dinitrophenyl, R^1 is not 2,3-dichlorophenyl;
- 25 when R^2 is H, Y is C=O, X is a bond, and R^3 is 2,4-dimethyl-6-oxo-6H-pyran-3-yl, R^1 is not 3-carbomethoxyphenyl; when R^2 is H, Y is C=O, X is a bond, and R^3 is methyl, R^1 is not 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is a bond, and R^3 is ethyl, R^1 is not phenyl, 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl, or 4-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is phenyl, R^1 is not 4-methoxyphenyl, 2,4-dimethylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 3-chlorophenyl, R^1 is not 4-methylphenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 4-chlorophenyl, R^1 is not 3-bromophenyl;

10 when R^2 is H, Y is C=O, X is NH, and R^3 is 3,4-dichlorophenyl, R^1 is not 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 2'-sulfamoylbiphenyl-4-yl, R^1 is not 5-bromo-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-propyl, R^1 is not phenyl;

15 when R^2 is H, Y is C=O, X is NH, and R^3 is 1-butyl, R^1 is not 4-bromophenyl, or 2,4-dimethylphenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is cyclohexyl, R^1 is not 4-methoxyphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is phenyl, R^1 is not phenyl, or 20 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is O, and R^3 is methyl, R^1 is not phenyl, 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is ethyl, R^1 is not phenyl, 2-fluorophenyl, or 4-acetylphenyl;

25 when R^2 is H, Y is C=O, X is O, and R^3 is 1-butyl, R^1 is not 2-fluorophenyl, 2-methoxyphenyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 2-butyl, R^1 is not 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 2-methyl-1-propyl, R^1 is not phenyl or 3-nitrophenyl;

30

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

2. A compound according to claim 1, wherein R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a
- 5 group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, and $(R^5)(R^6)NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO , $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
- 20 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;
Z is a substituent connected by a double bond, and is selected from $O=$ and $R^4N=$;
n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens;
- 25 X is selected from a bond, O, or NR^8 ;
Y is selected from $C=O$, $C=S$, and SO_2 ;
 R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or
- 30 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,

- C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, NO_2 , $R^4S(O)_nN(R^7)$,
 $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$,
5 $(R^5)(R^6)NS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
and independently substituted by one or more groups selected from halogen,
 C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$,
10 $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO ,
 $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z , provided that Z is not
directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
15 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;
 R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$,
20 $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$,
 $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, and heteroaryl residues are optionally and independently substituted
25 by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z , provided that Z is
30 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,

- C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO; or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO; R⁹, R¹⁰, R¹¹, and R¹² are each independently selected from hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl, optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from

halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens;

with the proviso that:

- 5 when R² is H, Y is C=O, X is a bond, and R³ is phenyl, R¹ is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 4-fluorophenyl, R¹ is not 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, or 2,4-dimethylphenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 2-chlorophenyl, R¹ is not
10 phenyl, 3-bromophenyl, or 4-bromophenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 3-chlorophenyl, R¹ is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 4-chlorophenyl, R¹ is not
15 3-bromophenyl, or 4-methoxyphenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 3-iodophenyl, R¹ is not 2-methoxyphenyl, or 2,4-dimethylphenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dichlorophenyl, R¹ is not 4-chlorophenyl, or 2,3-dichlorophenyl;
- 20 when R² is H, Y is C=O, X is a bond, and R³ is 2,4-dimethyl-6-oxo-6H-pyran-3-yl, R¹ is not 3-carbomethoxyphenyl;
- when R² is H, Y is C=O, X is a bond, and R³ is methyl, R¹ is not 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;
- 25 when R² is H, Y is C=O, X is a bond, and R³ is ethyl, R¹ is not phenyl, 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl, or 4-methyl-2-pyridinyl;
- when R² is H, Y is C=O, X is NH, and R³ is phenyl, R¹ is not 4-methoxyphenyl, 2,4-dimethylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 3-chlorophenyl, R^1 is not 4-methylphenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 4-chlorophenyl, R^1 is not 3-bromophenyl;

5 when R^2 is H, Y is C=O, X is NH, and R^3 is 3,4-dichlorophenyl, R^1 is not 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-propyl, R^1 is not phenyl;

when R^2 is H, Y is C=O, X is NH, and R^3 is 1-butyl, R^1 is not 4-bromophenyl, or 2,4-dimethylphenyl;

10 when R^2 is H, Y is C=O, X is NH, and R^3 is cyclohexyl, R^1 is not 4-methoxyphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is phenyl, R^1 is not phenyl, or 6-methyl-2-pyridinyl;

when R^2 is H, Y is C=O, X is O, and R^3 is methyl, R^1 is not phenyl,

15 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl, or 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is ethyl, R^1 is not phenyl,

2-fluorophenyl, or 4-acetylphenyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 1-butyl, R^1 is not 2-fluorophenyl,

2-methoxyphenyl, 4-methyl-2-pyridinyl, or 6-methyl-2-pyridinyl;

20 when R^2 is H, Y is C=O, X is O, and R^3 is 2-butyl, R^1 is not 2-thiazolyl;

when R^2 is H, Y is C=O, X is O, and R^3 is 2-methyl-1-propyl, R^1 is not phenyl;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

- 25 3. A compound according to any of claims 1-2, wherein R^1 is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine,
- 30 indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and

- benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isoheptyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R^2 is hydrogen, methyl or ethyl;
- R^3 is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl,

- cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman,
- 5 benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl,
- 10 difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino,
- 15 *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl,
- 20 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond; provided that when R² is H, Y is C=O, X is a bond, and R³ is phenyl, R¹
- 25 is not phenyl, 2-methoxyphenyl, 2-thiazolyl, or 6-methyl-2-pyridinyl, and when R² is H, Y is C=O, X is a bond, and R³ is 3-chlorophenyl, R¹ is not phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl, or 2,5-dichlorophenyl; or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline,
- 30 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline,

- quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl; R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl,

- 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or

- NCF₃, provided that when R² is H, Y is C=O, X is NH, and R³ is phenyl, R¹ is not 4-methoxyphenyl, 2,4-dimethylphenyl, or 2-thiazolyl, and when R² is H, Y is C=O, X is NH, and R³ is 3-chlorophenyl, R¹ is not 4-methylphenyl; or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R² is hydrogen, methyl or ethyl;
- R³ is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine,

- methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl,

- 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O, and X is O;
- as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.
4. A compound according to any of claims 1-3, wherein R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl, 2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O; with the proviso that when R² is H, Y is C=O, X is a bond, and R³ is 3-chlorophenyl, R¹ is not 2-chlorophenyl;
- as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.
5. A compound according to any of claims 1-4, which is selected from:
- 1-Benzoyl-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,
 1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,
 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,

- 1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,
1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carboxylic acid pyridin-3-ylamide,
2-{{1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-carboxylic
acid methyl ester,
5 2-{{1-(3-Trifluoromethylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-
carboxylic acid methyl ester,
2-{{1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-
carboxylic acid methyl ester,
2-{{1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carbonyl}amino}thiophene-3-
10 carboxylic acid methyl ester,
1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-
pyrazol-4-yl)amide,
1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-
pyrazol-4-yl)amide,
15 1-(3-Methyl-2-benzofuroyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-
pyrazol-4-yl)amide,
1-(2-Fluoro-5-iodobenzoyl)-1*H*-pyrazole-3-carboxylic acid (1,3,5-trimethyl-1*H*-
pyrazol-4-yl)amide,
1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-
20 5-yl)amide,
1-(3-Methyl-2-benzofuroyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-
yl)amide,
1-(2-Furoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (3-methylisoxazol-5-yl)amide,
25 4-{{1-(2,5-Dimethyl-3-furoyl)-1*H*-pyrazole-3-carbonyl}amino}benzoic acid
methyl ester,
4-{{1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}benzoic acid
methyl ester,
4-{{1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carbonyl}amino}benzoic acid methyl
30 ester,

- 4-{{1-(2-Furoyl)-1*H*-pyrazole-3-carbonyl}amino}benzoic acid methyl ester,
 1-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-pyrazole-3-carboxylic acid
 (4-dimethylaminophenyl)amide,
 1-(4-Pentylbenzoyl)-1*H*-pyrazole-3-carboxylic acid
 5 (4-dimethylaminophenyl)amide,
 1-(3-Methyl-2-thienoyl)-1*H*-pyrazole-3-carboxylic acid
 (4-dimethylaminophenyl)amide,
 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (4-dimethylaminophenyl)amide,
 1-(2-Ethoxybenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-
 10 2-cyanophenyl)amide,
 1-(5-Fluoro-2-methylbenzoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-
 cyanophenyl)amide,
 1-(2-Furoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide,
 1-(1-Naphthoyl)-1*H*-pyrazole-3-carboxylic acid (5-chloro-2-cyanophenyl)amide,
 15 1-Oleoyl-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,
 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid (1*R*,2*S*,5*R*)-(-)-
 menthol ester,
 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid 2-chlorobenzyl
 ester,
 20 3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-carboxylic acid 4-chlorophenyl
 ester,
 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide] 1-dimethylamide,
 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide]
 3-[(2-chlorophenyl)amide],
 25 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
 1-[(3-fluorophenyl)amide],
 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
 1-[(3-trifluoromethylphenyl)amide],
 1*H*-Pyrazole-1,3-dicarboxylic acid 3-[(2-chlorophenyl)amide]
 30 1-[(4-nitrophenyl)amide],

- 1-(Octane-1-sulfonyl)-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,
1-(3-Chlorobenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid
(2-chlorophenyl)amide,
1-(4-*tert*-Butylbenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid
5 (2-chlorophenyl)amide,
5-[3-(2-Chlorophenylcarbamoyl)-1*H*-pyrazole-1-sulfonyl]furan-2-carboxylic acid
methyl ester,
1-(3-Chlorobenzoyl)-1*H*-pyrazole-3-carboxylic acid
(2-chlorophenyl)methylamide,
10 1*H*-Pyrazole-1,3-dicarboxylic acid 1-[(3-chlorophenyl)amide]
3-[(2-chlorophenyl)methylamide],
3-[(2-Chlorophenyl)methylcarbamoyl]-1*H*-pyrazole-1-carboxylic acid
2-chlorobenzyl ester,
1-(3-Chlorobenzenesulfonyl)-1*H*-pyrazole-3-carboxylic acid
15 (2-chlorophenyl)methylamide,
1-Phenylthiocarbamoyl-1*H*-pyrazole-3-carboxylic acid (2-chlorophenyl)amide,

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

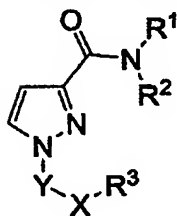
- 20 6. A compound according to any of claims 1-5 for medical use.

7. A pharmaceutical composition comprising a compound according to any of
claims 1-5 together with a pharmaceutical diluent or carrier.

- 25 8. A process for preparation of the pharmaceutical composition according to
claim 7 by combining a compound according to any of claims 1-5 together with a
pharmaceutical diluent or carrier.

9. A method for preventing, inhibiting or treating a disease associated with
30 inflammation, such as asthma, chronic obstructive pulmonary disease,

pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies, by administering to a subject in need of treatment a therapeutically effective amount of a compound of formula (II):



(II)

wherein:

R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,

- $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
5 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
10 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
20 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring;
 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
25 $(R^5)(R^6)C=$;
 n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
substituted by one or more halogens; or where R^1 and R^2 are optionally joined
to form a 5-7 membered ring, and which ring optionally contains 1-3
30 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a

- group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸),
- 5 R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO,
- 10 R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and R⁴OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl,
- 15 C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷),
- 20 (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO, R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, R⁴OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl,
- 25 C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷),
- 30 (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸),

- $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
5 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring;
 X is selected from a bond, O , or NR^8 ;
 Y is selected from $C=O$, $C=S$, and SO_2 ;
- 10 R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, or heteroaryl, optionally and independently substituted in one or
more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
20 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
25 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
30 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

- $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 5 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
- 10 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
- 15 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring;
- R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen,
- 20 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -heterocycloalkyl, optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
- 25 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
- 30 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,

- $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 5 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
- 10 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
- 15 $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring;
- or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from
- 20 halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
- 25 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
- 30 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,

- C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z),
- 5 (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³),
- 10 R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,
- 15 C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²),
- 20 (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,
- 25 (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- R⁹, R¹⁰, R¹¹, R¹² and R¹³ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, and C₃₋₈-hetero-
- 30 cycloalkyl, optionally and independently substituted by one or more groups

selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens.

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10. A method according to claim 9, wherein R¹ is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, and (R⁵)(R⁶)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO; Z is a substituent connected by a double bond, and is selected from O= and R⁴N=;

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n is 1 or 2;

R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens;

X is selected from a bond, O, or NR^8 ;

5 Y is selected from $C=O$, $C=S$, and SO_2 ;

R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

15 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO , $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl,

25 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;
 R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the

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- C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-
cycloalkyl, and heteroaryl residues are optionally and independently substituted
by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl,
C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N,
5 R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²),
R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO,
(R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is
not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl,
C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently
10 substituted by one or more groups selected from halogen, C₁₋₆-alkyl,
C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO;
or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
15 halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl,
C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z),
R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²),
R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,
(R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the
20 C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-
cycloalkyl, and heteroaryl residues are optionally and independently substituted
by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl,
C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N,
R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²),
25 R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO,
(R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is
not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl,
C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently
substituted by one or more groups selected from halogen, C₁₋₆-alkyl,
30 C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO;

R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and independently substituted by one or more halogens;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

11. A method according to any of claims 9-10, wherein R^1 is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl,

- 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R^2 is hydrogen, methyl or ethyl;
- R^3 is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl,

- cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond;
- or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl,

- 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, 5 thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl; R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 10 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 15 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 20 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or 25 cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, 30 benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane,

- optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃; or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-

- N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 5
- 10 R^2 is hydrogen, methyl or ethyl;
 R^3 is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine,
- 15 methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino,
- 20 isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetra-
- 25 hydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropyl-
- 30 methyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole,

imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;

Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

12. A method according to any of claims 9-11, wherein R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl,

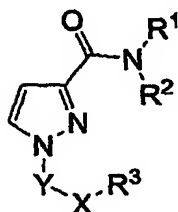
3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methyl-phenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl, 2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or
 5 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

13. A method according to any of claims 9-12, wherein the compound is
 10 selected from the compounds according to claim 5.

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

14. A method for preventing, inhibiting or treating a disease such as asthma,
 15 chronic obstructive pulmonary disease, pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple
 20 sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies, which can be modulated by inhibition of 15-lipoxygenase, by administering to a subject in need of treatment a therapeutically effective amount of a compound of formula (II):



25

(II)

wherein:

- R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl,
- 5 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
- 10 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
- 15 cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
- 20 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
- 25 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
- 30 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,

- $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
5 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring;
- 10 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
 $(R^5)(R^6)C=$;
 n is 1 or 2;
- R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
15 substituted by one or more halogens; or where R^1 and R^2 are optionally joined
to form a 5-7 membered ring, and which ring optionally contains 1-3
heteroatoms, or 1-3 double bonds, and which optionally is substituted by a
group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
20 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
25 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z , provided
that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
30 alkyl, and heteroaryl residues are optionally and independently substituted by

- one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸),
- 5 R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO,
- 10 R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, R⁴OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,
- 15 C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷),
- 20 (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO, R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, R⁴OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- 25 X is selected from a bond, O, or NR⁸;
Y is selected from C=O, C=S, and SO₂;
R³ is C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl,
- 30 C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z),

- $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
5 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
10 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
20 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
25 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
30 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

- $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 5 is not directly attached to an aryl or heteroaryl ring;
 R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl,
- 10 aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
- 15 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
- 20 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
- 25 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
- 30 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,

$R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$, $R^9OS(O)_m$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring;

- or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7
 5 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 10 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 15 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$, $R^9OS(O)_m$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
 20 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 25 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$,
 30 $R^9OS(O)_m$, and Z , provided that Z is not directly attached to an aryl or

- heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- 15 R⁹, R¹⁰, R¹¹, R¹² and R¹³ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, and C₃₋₈-heterocycloalkyl, optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are
- 20 optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO,
- 25 C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens.
15. A method according to claim 14, wherein R¹ is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a
- 30 group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,

- C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$,
 $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$
5 and $(R^5)(R^6)NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
and independently substituted by one or more groups selected from halogen,
 C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$,
 $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$,
10 $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO ,
 $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not
directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
15 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;
Z is a substituent connected by a double bond, and is selected from $O=$ and
 $R^4N=$;
n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
20 substituted by one or more halogens;
X is selected from a bond, O, or NR^8 ;
Y is selected from $C=O$, $C=S$, and SO_2 ;
 R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, or heteroaryl, optionally and independently substituted in one or
25 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, NO_2 , $R^4S(O)_nN(R^7)$,
 $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$,
30 $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or

heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO; R⁴, R⁵, R⁶, R⁷, and R⁸ are each independently selected from hydrogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO; or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3

- double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO, (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO; R⁹, R¹⁰, R¹¹, and R¹² are each independently selected from hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl, optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

16. A method according to any of claims 14-15, wherein R^1 is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 25 R^2 is hydrogen, methyl or ethyl;
- R^3 is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl,
- 30

- 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 5
- 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline,
- 10 quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from
- 15 fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy,
- 20 carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl,
- 25 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a

heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond;

or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline,

- 5 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl,
- 10 ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy,
- 15 amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl,
- 20 hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that
- 25 O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl; R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-
- 30 tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluoro-

- phenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydro-

- furyl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃;
- 5 or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine,
- 10 pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl,
- 15 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-iso-
- 20 propylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetra-
- 25 hydrofuryl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R² is hydrogen, methyl or ethyl;
- R³ is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl,
- 30 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-

- 8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-

- N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 10 Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

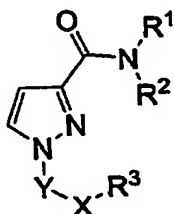
17. A method according to any of claims 14-16, wherein R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methyl-phenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl, 2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;

- 25 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

18. A method according to any of claims 14-17, wherein the compound is selected from the compounds according to claim 5.

- 30 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

19. A method for inhibiting 15-lipoxygenase in a mammal in need thereof, comprising administering to the mammal a therapeutically effective amount of a compound of formula (II):



5

(II)

wherein:

- R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
- cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,

- $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
5 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
10 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring;
20 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
 $(R^5)(R^6)C=$;
 n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
25 substituted by one or more halogens; or where R^1 and R^2 are optionally joined
to form a 5-7 membered ring, and which ring optionally contains 1-3
heteroatoms, or 1-3 double bonds, and which optionally is substituted by a
group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
30 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,

- $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
5 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z , provided
that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
10 cycloalkyl, and heteroaryl residues are optionally and independently substituted
by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
15 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
20 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
25 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
30 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,

$(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring;

5 X is selected from a bond, O , or NR^8 ;

Y is selected from $C=O$, $C=S$, and SO_2 ;

R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
 cycloalkyl, or heteroaryl, optionally and independently substituted in one or
 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,

10 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,

$R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

15 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,

$(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,

$R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,

20 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,

$(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,

25 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,

$R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,

$(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,

$(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,

30 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,

- $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 5 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
- 10 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 15 is not directly attached to an aryl or heteroaryl ring;
- R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -heterocycloalkyl, optionally and independently substituted by one or more groups
- 20 selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
- 25 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
- 30 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and

heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,

C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z),

(R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²),

5 (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³),

R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³),

R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²),

(R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³),

R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³),

10 R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO,

R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n,

R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or

heteroaryl ring;

or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7

15 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3

double bonds, and which optionally is substituted by a group selected from

halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl,

C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z),

R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²),

20 (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³),

(R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido,

NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²),

(R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³),

(R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,

25 (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO,

R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z

is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl,

C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and

heteroaryl residues are optionally and independently substituted by one or more

30 groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,

- C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
5 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
10 $R^9OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or
heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
and independently substituted by one or more groups selected from halogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
15 C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
20 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z, provided that Z
is not directly attached to an aryl or heteroaryl ring;
25 R^9 , R^{10} , R^{11} , R^{12} and R^{13} are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N,
HO, C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
30 optionally and independently substituted by one or more halogens;

- or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are optionally and independently substituted by one or more halogens.
20. A method according to claim 19, wherein R^1 is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, and $(R^5)(R^6)NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO , $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O , and O_2NO ;
- Z is a substituent connected by a double bond, and is selected from $O=$ and $R^4N=$;
- n is 1 or 2;
- R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens;

X is selected from a bond, O, or NR^8 ;

Y is selected from $\text{C}=\text{O}$, $\text{C}=\text{S}$, and SO_2 ;

- R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $\text{R}^4\text{C}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})$, $\text{R}^4\text{OC}(\text{Z})$, $\text{R}^4\text{SC}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{N}$, $\text{R}^4\text{C}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{SC}(\text{Z})\text{N}(\text{R}^7)$, NO_2 , $\text{R}^4\text{S}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)$, R^4O , $\text{R}^4\text{C}(\text{Z})\text{O}$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{O}$, R^4S , $\text{R}^4\text{S}(\text{O})_n$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $\text{R}^4\text{C}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})$, $\text{R}^4\text{OC}(\text{Z})$, $\text{R}^4\text{SC}(\text{Z})$, $(\text{R}^5)(\text{R}^6)\text{N}$, $\text{R}^4\text{C}(\text{Z})\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{OC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{SC}(\text{Z})\text{N}(\text{R}^7)$, $\text{R}^4\text{S}(\text{O})_n\text{N}(\text{R}^7)$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n\text{N}(\text{R}^7)$, R^4O , $\text{R}^4\text{C}(\text{Z})\text{O}$, O_2NO , $(\text{R}^5)(\text{R}^6)\text{NC}(\text{Z})\text{O}$, R^4S , $\text{R}^4\text{S}(\text{O})_n$, $(\text{R}^5)(\text{R}^6)\text{NS}(\text{O})_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(\text{R}^5)(\text{R}^6)\text{N}$, R^4O , and O_2NO ;
- R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $\text{R}^9\text{C}(\text{Z})$, $(\text{R}^{10})(\text{R}^{11})\text{NC}(\text{Z})$, $\text{R}^9\text{OC}(\text{Z})$, $\text{R}^9\text{SC}(\text{Z})$, $(\text{R}^{10})(\text{R}^{11})\text{N}$, $\text{R}^9\text{C}(\text{Z})\text{N}(\text{R}^{12})$, $(\text{R}^{10})(\text{R}^{11})\text{NC}(\text{Z})\text{N}(\text{R}^{12})$, $\text{R}^9\text{OC}(\text{Z})\text{N}(\text{R}^{12})$, $\text{R}^9\text{SC}(\text{Z})\text{N}(\text{R}^{12})$, $\text{R}^9\text{S}(\text{O})_n\text{N}(\text{R}^{12})$, $(\text{R}^{10})(\text{R}^{11})\text{NS}(\text{O})_n\text{N}(\text{R}^{12})$, R^9O , $\text{R}^9\text{C}(\text{Z})\text{O}$, $(\text{R}^{10})(\text{R}^{11})\text{NC}(\text{Z})\text{O}$, R^9S , $\text{R}^9\text{S}(\text{O})_n$, and $(\text{R}^{10})(\text{R}^{11})\text{NS}(\text{O})_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl,

- C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z , provided that Z is
 5 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7
 10 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
 double bonds, and which optionally is substituted by a group selected from
 halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$,
 15 $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
 cycloalkyl, and heteroaryl residues are optionally and independently substituted
 by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl,
 20 C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z , provided that Z is
 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 25 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one
 30 or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH,

- (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens; or where any pair of R⁹, R¹⁰, R¹¹, and R¹² are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, and O₂NO, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens;
- as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.
21. A method according to any of claims 19-20, wherein R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydro-

pyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;

5 R^2 is hydrogen, methyl or ethyl;

R^3 is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-

- 4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl,
 10 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl,
 15 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline,
 20 quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from
 25 fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy,
 30 carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propyl-

- amino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond;
- or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl,

- 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 5 R^2 is hydrogen, methyl, or ethyl;
 R^3 is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluoro-
- 10 phenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl,
- 15 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl,
- 20 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline,
- 25 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl,
- 30

- fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃;
- or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-

- piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R^2 is hydrogen, methyl or ethyl;
- R^3 is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene,

pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;

Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

22. A method according to any of claims 19-21, wherein R¹ is 2-chlorophenyl, 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or 3-carbomethoxythien-2-yl, R² is hydrogen or methyl, R³ is methyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphthyl, 2-furyl, 2,5-dimethyl-3-furyl,

2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or 3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

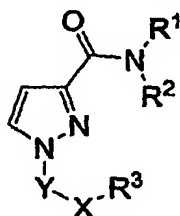
5

23. A method according to any of claims 19-22, wherein the compound is selected from the compounds according to claim 5.

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

10

24. A method for eliciting a 15-lipoxygenase modulating effect in a subject in need of treatment, which comprises administering to the subject of a therapeutically effective amount of a compound of formula (II):



15

(II)

wherein:

R¹ is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl,

20

C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O,

25

- $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
cycloalkyl, and heteroaryl residues are optionally and independently substituted
5 by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
10 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z, provided that Z
15 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
20 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
25 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z, provided that Z
is not directly attached to an aryl or heteroaryl ring;

Z is a substituent connected by a double bond, and is selected from O=, S=, $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and $(R^5)(R^6)C=$;

n is 1 or 2;

- 5 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently substituted by one or more halogens; or where R^1 and R^2 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
- 20 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
- 30

- $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more
- 5 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
- 10 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 15 is not directly attached to an aryl or heteroaryl ring;
- X is selected from a bond, O , or NR^8 ;
- Y is selected from $C=O$, $C=S$, and SO_2 ;
- R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or
- 20 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
- 25 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
- 30 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,

- C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$,
- 5 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
- 10 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
- 15 heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
- 20 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
- 25 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring;
- R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -heterocycloalkyl, optionally and independently substituted by one or more groups
- 30 selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl,

- aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z
- is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²)C(Z)N(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)C(Z)N(R¹³), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²), azido, NO₂, R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²)S(O)_nN(R¹³), R⁹OC(Z)N(R¹²)S(O)_nN(R¹³), (R¹⁰)(R¹¹)NS(O)_nN(R¹²)S(O)_nN(R¹³), R⁹OS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, (R¹⁰)(R¹¹)NC(Z)O, R⁹OC(Z)O, O₂NO, R⁹S(O)_nO, (R¹⁰)(R¹¹)NS(O)_nO, R⁹OS(O)_nO, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, R⁹OS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring;
- or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²),

- $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
5 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
10 heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
15 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
20 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
 $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
and independently substituted by one or more groups selected from halogen,
25 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
30 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,

- $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
5 is not directly attached to an aryl or heteroaryl ring;
 R^9 , R^{10} , R^{11} , R^{12} and R^{13} are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N,
10 HO , C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
optionally and independently substituted by one or more halogens;
or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
15 halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO ,
 C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
optionally and independently substituted by one or more halogens.

25. A method according to claim 24, wherein R^1 is an aryl ring or heteroaryl
20 ring, optionally and independently substituted in one or more positions by a
group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -
alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$,
 $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$,
 $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O ,
25 $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, and $(R^5)(R^6)NS(O)_n$; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
alkyl, and heteroaryl residues are optionally and independently substituted by
one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$,
30 $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$,

- $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO , $(R^5)(R^6)NC(Z)O$,
 R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z , provided that Z is not directly attached to
 an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl
 residues are optionally and independently substituted by one or more groups
 5 selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^5)(R^6)N$, R^4O ,
 and O_2NO ;
 Z is a substituent connected by a double bond, and is selected from $O=$ and
 $R^4N=$;
 n is 1 or 2;
 10 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
 substituted by one or more halogens;
 X is selected from a bond, O , or NR^8 ;
 Y is selected from $C=O$, $C=S$, and SO_2 ;
 R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-
 15 cycloalkyl, or heteroaryl, optionally and independently substituted in one or
 more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, NO_2 , $R^4S(O)_nN(R^7)$,
 20 $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$,
 $(R^5)(R^6)NS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
 heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally
 and independently substituted by one or more groups selected from halogen,
 25 C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$,
 $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, O_2NO ,
 $(R^5)(R^6)NC(Z)O$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and Z , provided that Z is not
 directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 30 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently

substituted by one or more groups selected from halogen, C₁₋₆-alkyl,

C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO;

R⁴, R⁵, R⁶, R⁷, and R⁸ are each independently selected from hydrogen,

C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-

5 cycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z),

(R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²),

R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,

(R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the

10 C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-
cycloalkyl, and heteroaryl residues are optionally and independently substituted

by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl,

C₂₋₆-alkynyl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z), R⁹SC(Z), (R¹⁰)(R¹¹)N,

R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²), R⁹SC(Z)N(R¹²),

R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O, O₂NO,

15 (R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, (R¹⁰)(R¹¹)NS(O)_n, and Z, provided that Z is
not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl,

C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently

substituted by one or more groups selected from halogen, C₁₋₆-alkyl,

C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R¹⁰)(R¹¹)N, R⁹O, and O₂NO;

20 or where any pair of R⁴, R⁵, R⁶, R⁷, and R⁸ are optionally joined to form a 5-7

membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3

double bonds, and which optionally is substituted by a group selected from

halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl,

C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁹C(Z), (R¹⁰)(R¹¹)NC(Z), R⁹OC(Z),

25 R⁹SC(Z), (R¹⁰)(R¹¹)N, R⁹C(Z)N(R¹²), (R¹⁰)(R¹¹)NC(Z)N(R¹²), R⁹OC(Z)N(R¹²),

R⁹SC(Z)N(R¹²), R⁹S(O)_nN(R¹²), (R¹⁰)(R¹¹)NS(O)_nN(R¹²), R⁹O, R⁹C(Z)O,

(R¹⁰)(R¹¹)NC(Z)O, R⁹S, R⁹S(O)_n, and (R¹⁰)(R¹¹)NS(O)_n; and wherein the

C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-

cycloalkyl, and heteroaryl residues are optionally and independently substituted

30 by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl,

- C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$,
 $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$,
 $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_mN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_m$, $(R^{10})(R^{11})NS(O)_m$, and Z , provided that Z is
 5 not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl,
 10 C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one
 or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH,
 $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl
 residues are optionally and independently substituted by one or more halogens;
 or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7
 15 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
 double bonds, and which optionally is substituted by a group selected from
 halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO,
 C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and
 independently substituted by one or more halogens;
 20 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

26. A method according to any of claims 24-25, wherein R^1 is benzene, pyrrole,
 furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine,
 25 indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline,
 isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran,
 isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine,
 indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and
 benzodioxane, optionally and independently substituted in one or more
 30 positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl,

- isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl,
- 5 cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-
- 10 piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not
- 15 directly connected to a heteroatom or to a carbon with a double bond;
R² is hydrogen, methyl or ethyl;
R³ is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-
- 20 4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl,
- 25 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole,
- 30 imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline,

- quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydro-
isoquinoline, quinolizine, benzofuran, isobenzofuran, chroman,
benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole,
quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and
5 independently substituted in one or more positions by a group selected from
fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl,
isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl,
difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl,
cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl,
10 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy,
carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propyl-
amino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino,
N-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino,
N-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl,
15 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl,
4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy,
2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl,
3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl,
carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl,
20 methylsulfonyl, and O=, provided that O= is not directly connected to a
heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a
bond;
or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole,
isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline,
25 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline,
quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine,
pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline,
1,3-benzodioxole, and benzodioxane, optionally and independently substituted
in one or more positions by a group selected from fluorine, chlorine, methyl,
30 ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl,

- isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butyl-
5 amino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, fluoromethoxy,
10 difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that
15 O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl; R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-
20 tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoro-
25 methylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl,
30 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl,

3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃; or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline,

- 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R² is hydrogen, methyl or ethyl;
- R³ is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl,

- 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl,
- 5 carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline,
- 10 quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl,
- 15 ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino,
- 20 isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy,
- 25 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- 30 Y is C=O, and X is O;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

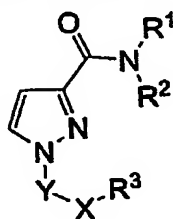
27. A method according to any of claims 24-26, wherein R^1 is 2-chlorophenyl,
5 5-chloro-2-cyanophenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl,
1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridyl, or
3-carbomethoxythien-2-yl, R^2 is hydrogen or methyl, R^3 is methyl, 1-octyl,
oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, phenyl, 3-fluorophenyl,
3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methyl-
10 phenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3-trifluoromethylphenyl,
4-nitrophenyl, 2-ethoxyphenyl, 1-naphtyl, 2-furyl, 2,5-dimethyl-3-furyl,
2-carbomethoxy-5-furyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuryl, or
3-methyl-2-thienyl, Y is C=O, C=S or SO₂, and X is a bond, NH, NHMe, or O;

- 15 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

28. A method according to any of claims 24-27, wherein the compound is
selected from the compounds according to claim 5.

- 20 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

29. Use of a compound of formula (II):



(II)

5 wherein:

- R¹ is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)S(O)_nN(R⁸), R⁴OS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴OC(Z)O, O₂NO, R⁴S(O)_nO, (R⁵)(R⁶)NS(O)_nO, R⁴OS(O)_nO, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and R⁴OS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷)C(Z)N(R⁸), (R⁵)(R⁶)NS(O)_nN(R⁷)C(Z)N(R⁸), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), azido, NO₂, R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷)S(O)_nN(R⁸), R⁴OC(Z)N(R⁷)S(O)_nN(R⁸),

- $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 5 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
 heteroaryl residues are optionally and independently substituted by one or more
 groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 10 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 15 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z
 is not directly attached to an aryl or heteroaryl ring;
 Z is a substituent connected by a double bond, and is selected from $O=$, $S=$,
 $R^4N=$, $(R^5)(R^6)NN=$, $R^4ON=$, $(R^5)(R^6)NS(O)_2N=$, $NCN=$, $O_2NCH=$, and
 20 $(R^5)(R^6)C=$;
 n is 1 or 2;
 R^2 is selected from hydrogen and C_{1-6} -alkyl, optionally and independently
 substituted by one or more halogens; or where R^1 and R^2 are optionally joined
 to form a 5-7 membered ring, and which ring optionally contains 1-3
 25 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a
 group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 30 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,

- $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
5 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, and $R^4OS(O)_n$ and Z , provided
that Z is not directly attached to an aryl or heteroaryl ring; and wherein the
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocyclo-
alkyl, and heteroaryl residues are optionally and independently substituted by
one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl,
10 C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
15 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,
 $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$ and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
20 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$,
 $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$,
25 $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$,
 $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$,
 $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$,
 $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$,
 $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$,
30 $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$,

$R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring;

X is selected from a bond, O , or NR^8 ;

Y is selected from $C=O$, $C=S$, and SO_2 ;

- 5 R^3 is C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
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- C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^4C(Z)$, $(R^5)(R^6)NC(Z)$, $R^4OC(Z)$, $R^4SC(Z)$, $(R^5)(R^6)N$, $R^4C(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)C(Z)N(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)C(Z)N(R^8)$, $R^4OC(Z)N(R^7)$, $R^4SC(Z)N(R^7)$, azido, NO_2 , $R^4S(O)_nN(R^7)$, $(R^5)(R^6)NS(O)_nN(R^7)$, $(R^5)(R^6)NC(Z)N(R^7)S(O)_nN(R^8)$, $R^4OC(Z)N(R^7)S(O)_nN(R^8)$, $(R^5)(R^6)NS(O)_nN(R^7)S(O)_nN(R^8)$, $R^4OS(O)_nN(R^7)$, R^4O , $R^4C(Z)O$, $(R^5)(R^6)NC(Z)O$, $R^4OC(Z)O$, O_2NO , $R^4S(O)_nO$, $(R^5)(R^6)NS(O)_nO$, $R^4OS(O)_nO$, R^4S , $R^4S(O)_n$, $(R^5)(R^6)NS(O)_n$, $R^4OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; R^4 , R^5 , R^6 , R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -heterocycloalkyl, optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,

- C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$,
 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
5 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
10 $R^9OS(O)_n$, and Z, provided that Z is not directly attached to an aryl or
heteroaryl ring;
or where any pair of R^4 , R^5 , R^6 , R^7 , and R^8 are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
15 halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
20 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z, provided that Z
25 is not directly attached to an aryl or heteroaryl ring; and wherein the C_{1-6} -alkyl,
 C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, and
heteroaryl residues are optionally and independently substituted by one or more
groups selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl,
 C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$,
30 $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$,

- $(R^{10})(R^{11})NC(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$,
 $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido, NO_2 , $R^9S(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$,
5 $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$,
 $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO ,
 $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$, $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$,
 $R^9OS(O)_n$, and Z , provided that Z is not directly attached to an aryl or
heteroaryl ring; wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -
10 alkynyl, aryl, C_{3-8} -heterocycloalkyl, and heteroaryl residues are optionally and
independently substituted by one or more groups selected from halogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl,
 C_{3-8} -heterocycloalkyl, heteroaryl, CN , $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$,
 $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$,
15 $(R^{10})(R^{11})NC(Z)N(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})C(Z)N(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})C(Z)N(R^{13})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, azido,
 NO_2 , $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$,
 $(R^{10})(R^{11})NC(Z)N(R^{12})S(O)_nN(R^{13})$, $R^9OC(Z)N(R^{12})S(O)_nN(R^{13})$,
 $(R^{10})(R^{11})NS(O)_nN(R^{12})S(O)_nN(R^{13})$, $R^9OS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$,
20 $(R^{10})(R^{11})NC(Z)O$, $R^9OC(Z)O$, O_2NO , $R^9S(O)_nO$, $(R^{10})(R^{11})NS(O)_nO$,
 $R^9OS(O)_nO$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, $R^9OS(O)_n$, and Z , provided that Z
is not directly attached to an aryl or heteroaryl ring;
 R^9 , R^{10} , R^{11} , R^{12} and R^{13} are each independently selected from hydrogen,
 C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, and C_{3-8} -hetero-
25 cycloalkyl, optionally and independently substituted by one or more groups
selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N,
HO, C_{1-6} -alkylO, O_2NO , and C_{1-6} -alkylS, wherein the C_{1-6} -alkyl residues are
optionally and independently substituted by one or more halogens;
or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7
30 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3

double bonds, and which optionally is substituted by a group selected from halogen, C₁₋₆-alkyl, NH₂, C₁₋₆-alkylNH, (C₁₋₆-alkyl)(C₁₋₆-alkyl)N, HO, C₁₋₆-alkylO, O₂NO, and C₁₋₆-alkylS, wherein the C₁₋₆-alkyl residues are optionally and independently substituted by one or more halogens;

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in the manufacture of a medicament for the therapeutic treatment or preventing of a disease or disorder, which is associated with 15-lipoxygenase, such as asthma, chronic obstructive pulmonary disease, pulmonary fibrosis, allergic disorders, rhinitis, inflammatory bowel disease, inflammatory pain, atherosclerosis, vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis, conjunctivitis, iritis, scleritis, uveitis, wound healing, dermatitis, eczema, psoriasis, stroke, diabetes, autoimmune diseases, Alzheimers disease, multiple sclerosis, sarcoidosis, and Hodgkin's disease and other malignancies, in a subject in need thereof.

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30. The use according to claim 29, wherein R¹ is an aryl ring or heteroaryl ring, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, and (R⁵)(R⁶)NS(O)_n; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl

residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO;

Z is a substituent connected by a double bond, and is selected from O= and

5 R⁴N=;

n is 1 or 2;

R² is selected from hydrogen and C₁₋₆-alkyl, optionally and independently substituted by one or more halogens;

X is selected from a bond, O, or NR⁸;

10 Y is selected from C=O, C=S, and SO₂;

R³ is C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-hetero-cycloalkyl, or heteroaryl, optionally and independently substituted in one or more positions by a group selected from halogen, C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, heteroaryl, CN, R⁴C(Z),
 15 (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷),
 (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), NO₂, R⁴S(O)_nN(R⁷),
 (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n,
 (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; and wherein the C₁₋₆-alkyl, C₃₋₈-cycloalkyl, C₂₋₆-alkenyl,

20 C₂₋₆-alkynyl, aryl, C₃₋₈-heterocycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, CN, R⁴C(Z), (R⁵)(R⁶)NC(Z), R⁴OC(Z), R⁴SC(Z), (R⁵)(R⁶)N, R⁴C(Z)N(R⁷), (R⁵)(R⁶)NC(Z)N(R⁷), R⁴OC(Z)N(R⁷), R⁴SC(Z)N(R⁷), R⁴S(O)_nN(R⁷), (R⁵)(R⁶)NS(O)_nN(R⁷), R⁴O, R⁴C(Z)O, O₂NO,
 25 (R⁵)(R⁶)NC(Z)O, R⁴S, R⁴S(O)_n, (R⁵)(R⁶)NS(O)_n, and Z, provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C₁₋₆-alkyl, C₂₋₆-alkenyl, and C₂₋₆-alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, (R⁵)(R⁶)N, R⁴O, and O₂NO;

R^4, R^5, R^6, R^7 , and R^8 are each independently selected from hydrogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-cycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO , $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z , provided that Z is not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl, C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ; or where any pair of R^4, R^5, R^6, R^7 , and R^8 are optionally joined to form a 5-7 membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3 double bonds, and which optionally is substituted by a group selected from halogen, C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -heterocycloalkyl, heteroaryl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$; and wherein the C_{1-6} -alkyl, C_{3-8} -cycloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, C_{3-8} -hetero-cycloalkyl, and heteroaryl residues are optionally and independently substituted by one or more groups selected from halogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, CN, $R^9C(Z)$, $(R^{10})(R^{11})NC(Z)$, $R^9OC(Z)$, $R^9SC(Z)$, $(R^{10})(R^{11})N$, $R^9C(Z)N(R^{12})$, $(R^{10})(R^{11})NC(Z)N(R^{12})$, $R^9OC(Z)N(R^{12})$, $R^9SC(Z)N(R^{12})$, $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, and $(R^{10})(R^{11})NS(O)_n$.

- $R^9S(O)_nN(R^{12})$, $(R^{10})(R^{11})NS(O)_nN(R^{12})$, R^9O , $R^9C(Z)O$, O_2NO ,
 $(R^{10})(R^{11})NC(Z)O$, R^9S , $R^9S(O)_n$, $(R^{10})(R^{11})NS(O)_n$, and Z , provided that Z is
not directly attached to an aryl or heteroaryl ring; wherein the C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl residues are optionally and independently
5 substituted by one or more groups selected from halogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, C_{2-6} -alkynyl, $(R^{10})(R^{11})N$, R^9O , and O_2NO ;
 R^9 , R^{10} , R^{11} , and R^{12} are each independently selected from hydrogen, C_{1-6} -alkyl,
 C_{2-6} -alkenyl, and C_{2-6} -alkynyl, optionally and independently substituted by one
or more groups selected from halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH,
10 $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO, C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl
residues are optionally and independently substituted by one or more halogens;
or where any pair of R^9 , R^{10} , R^{11} , and R^{12} are optionally joined to form a 5-7
membered ring, and which ring optionally contains 1-3 heteroatoms, or 1-3
double bonds, and which optionally is substituted by a group selected from
15 halogen, C_{1-6} -alkyl, NH_2 , C_{1-6} -alkylNH, $(C_{1-6}$ -alkyl)(C_{1-6} -alkyl)N, HO,
 C_{1-6} -alkylO, and O_2NO , wherein the C_{1-6} -alkyl residues are optionally and
independently substituted by one or more halogens;

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

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31. The use according to any of claims 29-30, wherein R^1 is benzene, pyrrole,
furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine,
indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline,
isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran,
25 isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine,
indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and
benzodioxane, optionally and independently substituted in one or more
positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl,
isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl,
30 isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl,

- cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, 5 *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydro-10 pyran, 2-tetrahydropyran, 3-tetrahydropyran, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl or ethyl;
- 15 R³ is propyl, isopropyl, butyl, 2-methylpropyl, *tert*-butyl, 1-pentyl, 1-hexyl, 1-heptyl, 1-octyl, 1-tridecyl, 1-pentadecyl, 1-heptadecyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, 3-methylbutyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,5-dichlorophenyl, 20 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 2-fluoro-5-iodophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 5-fluoro-2-methylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 25 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, naphthyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydro-30 isoquinoline, quinolizine, benzofuran, isobenzofuran, chroman,

benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propyl-amino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; Y is C=O or SO₂, and X is a bond;

or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl,

- 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, cyano, carbomethoxy, carboethoxy, carboisopropoxy, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 10 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond; R² is hydrogen, methyl, or ethyl;
- 15 R³ is methyl, ethyl, isopropyl, 2-methylpropyl, *tert*-butyl, pentyl, hexyl, heptyl, 3-methylbutyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 20 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-*tert*-butylphenyl, 4-pentylphenyl, 3,4-dimethylphenyl, 1-trifluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-carbomethoxyphenyl, 3-carbomethoxyphenyl, 4-carbomethoxyphenyl, 2-carboethoxyphenyl, 3-carboethoxyphenyl, 4-carboethoxyphenyl, 2-carboisopropoxyphenyl, 3-carboisopropoxyphenyl, 4-carboisopropoxyphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,5-dinitrophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 3,4-methylenedioxyphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, or 30

- cyclopropylmethyl, cyclopentyl, benzyl, pyrrolidine, piperidine, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran,
- 5 chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl,
- 10 fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino,
- 15 *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, nitro, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl,
- 20 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond, Y is C=O and C=S, and X is NH, NMe, NEt or NCF₃;
- or R¹ is benzene, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline,
- 25 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene, pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted
- 30 in one or more positions by a group selected from fluorine, chlorine, methyl,

- ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a heteroatom or to a carbon with a double bond;
- R^2 is hydrogen, methyl or ethyl;
- R^3 is propyl, isopropyl, *tert*-butyl, pentyl, 1-tridecanyl, 1-pentadecanyl, 1-heptadecanyl, 1-heptadec-8-enyl, 1-heptadeca-8,11-dienyl, 1-heptadeca-8,11,14-trienyl, 1-nonadeca-4,7,10,13-tetraenyl, a phenyl independently substituted in one or more positions by a group selected from fluorine, chlorine, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl, 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propoxy, 2-tetra-

- hydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a
- 5 heteroatom or to a carbon with a double bond; or cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, benzyl, pyrrole, furan, thiophene, pyrazole, imidazole, oxazole, isoxazole, thiazole, pyridine, indole, indoline, isoindoline, quinoline, 1,2,3,4-tetrahydroquinoline, isoquinoline, 1,2,3,4-tetrahydroisoquinoline, quinolizine, benzofuran, isobenzofuran, chroman, benzothiophene,
- 10 pyridazine, pyrimidine, pyrazine, indazole, benzimidazole, quinazoline, quinoxaline, 1,3-benzodioxole, and benzodioxane, optionally and independently substituted in one or more positions by a group selected from halogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, isohexyl, fluoromethyl, difluoromethyl, trifluoromethyl,
- 15 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-pentenyl, 2-pentenyl, 4-pentenyl, 5-hexenyl, amino, methylamino, ethylamino, *n*-propylamino, isopropylamino, *n*-butylamino, *tert*-butylamino, *N,N*-dimethylamino, *N*-methyl-*N*-ethylamino, *N,N*-diethylamino, *N*-methyl-*N*-isopropylamino, *N*-ethyl-*N*-isopropylamino, 1-pyrrolidyl, 2-pyrrolidyl, 3-pyrrolidyl, 1-piperidyl,
- 20 2-piperidyl, 3-piperidyl, 4-piperidyl, 1-methyl-4-piperidyl, 1-piperazinyl, 4-methyl-1-piperazinyl, hydroxy, methoxy, ethoxy, isopropoxy, *n*-propyloxy, 2-tetrahydrofuryl, 3-tetrahydrofuryl, 1-tetrahydropyranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-morpholinyl, thiomethyl, acetyl, propionyl, pivaloyl, carbamoyl, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylsulfinyl, methylsulfonyl, and O=, provided that O= is not directly connected to a
- 25 heteroatom or to a carbon with a double bond;
Y is C=O, and X is O;
- 30 as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

as well as pharmaceutically acceptable salts, stereoisomers or prodrugs thereof.

Abstract

This invention relates to novel compounds, to pharmaceutical compositions comprising the compounds, as well as to the use of the compounds in medicine and for the preparation of a medicament, which acts on the 15-lipoxygenase. It is of special interest to provide a medicament against inflammatory diseases and disorders having an inflammatory component.

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